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SEARCH REQUEST FORM

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Requester's Full Name: Jeffrey E. Russel Examiner #: 62785 Date: 10/04/02

Art Unit: 1653 Phone Number 308-3975 Serial Number: 09/758,993

Mail Box and Bldg/Room Location: CM1-9801 / CM1-9807 Results Format Preferred (circle) PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

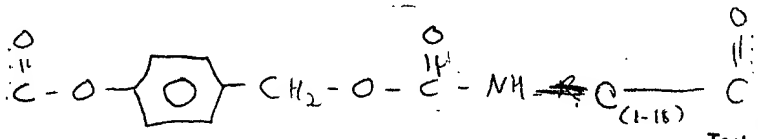
Title of Invention: Tetrapeptide prodrugs

Inventors (please provide full names): R. Greenwald, H. Zhao

Earliest Priority Filing Date: 1-12-2001

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please search the following partial structure:



Mary Jane Ruhl
Tech. Info. Specialist, STIC
TC-1600
CM-1, Room 6A-06
Phone: 605-1155

Keywords are prodrug-conjugat?, PEG, polyethylene glycol.

Alternatively, have R = $-(C)_n-\overset{O}{\overset{\|}{C}}$ where n = 1-18,

Thank you.

JER

****

STAFF USE ONLY	Type of Search		Vendors and cost where applicable	
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Searcher Phone #:	AA Sequence (#)		Dialog	
Searcher Location:	Structure (#)		Questel/Orbit	
Date Searcher Picked Up:	Bibliographic		Dr.Link	
Date Completed:	Litigation		Lexis/Nexis	
Searcher Prep & Review Time:	Fulltext		Sequence Systems	
Clerical Prep Time:	Patent Family		WWW/Internet	
Online Time:	Other		Other (specify)	

PTO-1590 (8-01)

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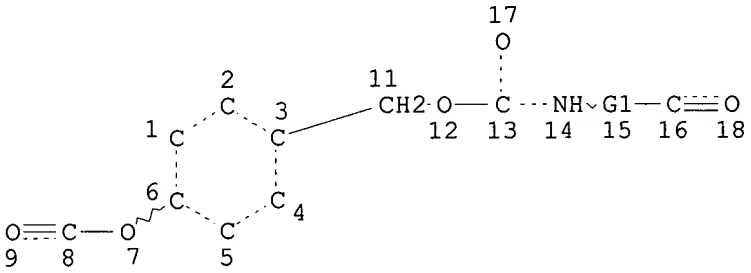


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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS  17

STEREO ATTRIBUTES: NONE
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100.0% PROCESSED  14062 ITERATIONS                     112 ANSWERS
SEARCH TIME: 00.00.10


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L3                STR L1
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L5                STR L3
L6              3 S L5
L7            112 S L5 FULL
                  SAVE L7 RUS993A1/A

     FILE 'HCAPLUS' ENTERED AT 11:09:21 ON 19 APR 2002
L8             22 S L7
L9              6 S (PRODRUG OR CONJUGAT? OR PEG OR POLYETHYLENE(W)GLYCOL) AND L8
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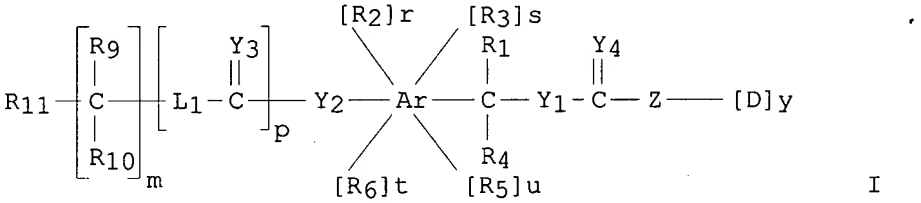
22 cits in CA Plus for hit str.

6 cits in CA Plus when combined with above terms

L9 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2002 ACS
2001:763542 Document No. 135:304102 Synthesis and Antitumor Activity of Tetrapartate Prodrugs. Greenwald, Richard B.; Zhao, Hong (Greenwald, Richard, USA). U.S. Pat. Appl. Publ. US 20010031873 A1 20011018, 32 pp., Cont.-in-part of U.S. 6,180,095. (English). CODEN: USXXCO. APPLICATION: US 2001-758993 20010112. PRIORITY: US 1997-992435 19971217; US 1998-183557 19981030.

GI



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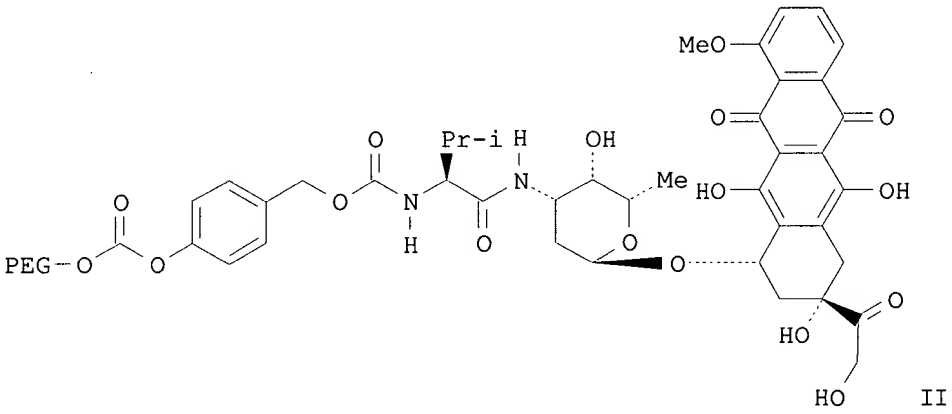


II

AB The title tetrapartate prodrugs (I, L1 = bifunctional link; D = leaving group, residue of a compd. to be delivered into a cell; Z is covalently linked to [D]y, wherein Z = moiety that is actively transported into a target cell, a hydrophobic moiety, and combinations thereof; Y1, Y2, Y3 and Y4 = O, S, or NR12 ; R11 = mono- or divalent polymer residue; R1, R4, R9, R10 and R12 = H, C1-6 alkyls, C3-12 branched alkyls, C3-8 cycloalkyls, C1-6 substituted alkyls, C3-8 substituted cycloalkyls, aryls, substituted aryls, aralkyls, C1-6 heteroalkyls and substituted C1-6 heteroalkyls; R2, R3, R5 and R6 = H, C1-6 alkyls, C1-6 alkoxy, phenoxy, C1-8 heteroalkyls, C1-8 heteroalkoxy, substituted C1-6 alkyls, C3-8 cycloalkyls, C3-8 substituted cycloalkyls, aryls, substituted aryls, aralkyls, halo-, nitro- and cyano-, carboxy-, C1-6 carboxyalkyls and C1-6 alkylcarbonyls; Ar = moiety which forms a multi-substituted arom. hydrocarbon or a multi-substituted heterocyclic group; m, r, s, t, u = 0, 1; p = 0, pos. integer; y = 1, 2) were prepd and tested for antitumor activity. Thus, II was prepd. in 75% and 62% yields following one-step and three-step routes, resp. II displayed a treatment over control (T/C) value of 13.2% vs. human ovarian carcinoma (A2780) xenograft in nude mice.

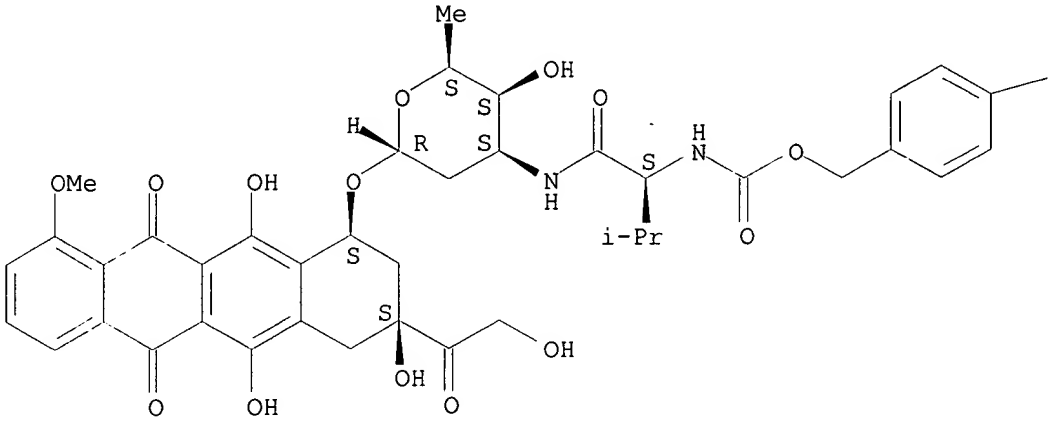
IT **366807-39-8DP, PEG** supported **366807-61-6DP, PEG** supported **366807-66-1DP, PEG** supported **366807-69-4DP, PEG** supported **366807-73-0DP, PEG** supported **366807-75-2DP, PEG** supported **366807-76-3DP, PEG** supported - native bovine Hb **conjugate**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antitumor activity of tetrapartate prodrugs)

RN 366807-39-8 HCAPLUS

CN 5,12-Naphthacenedione, 10-[[3-[[(2S)-2-[[[[4-(carboxyoxy)phenyl]methoxy]carbonyl]amino]-3-methyl-1-oxobutyl]amino]-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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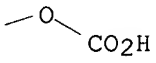

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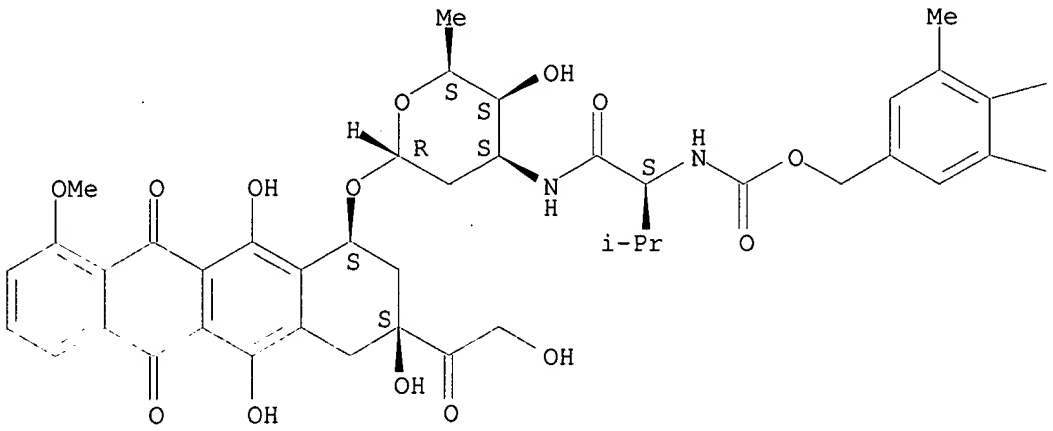
CN 5,12-Naphthacenedione, 10-[[3-[[(2S)-2-[[[[4-(carboxyoxy)-3,5-dimethylphenyl]methoxy]carbonyl]amino]-3-methyl-1-oxobutyl]amino]-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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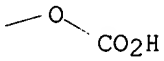

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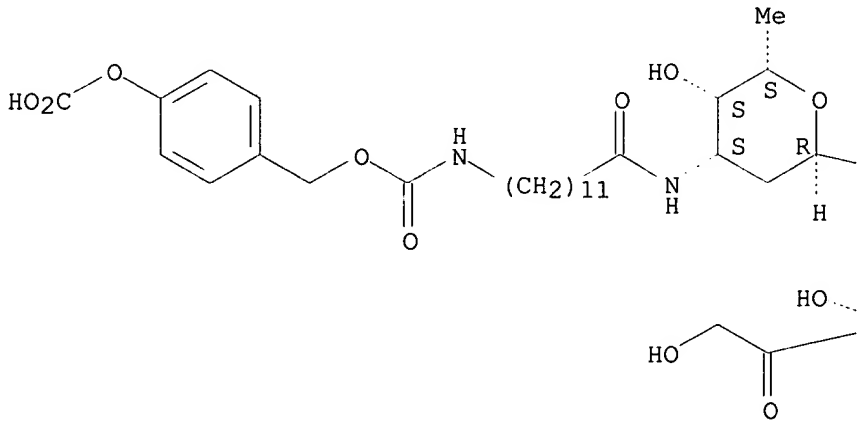
CN 5,12-Naphthacenedione, 10-[[3-[[12-[[[[4-(carboxyoxy)phenyl]methoxy]carbonyl]amino]-1-oxododecyl]amino]-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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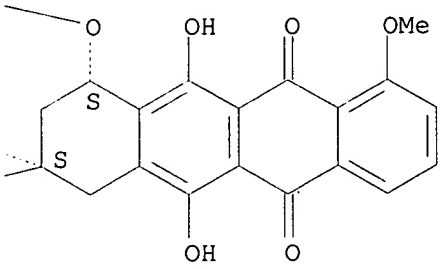

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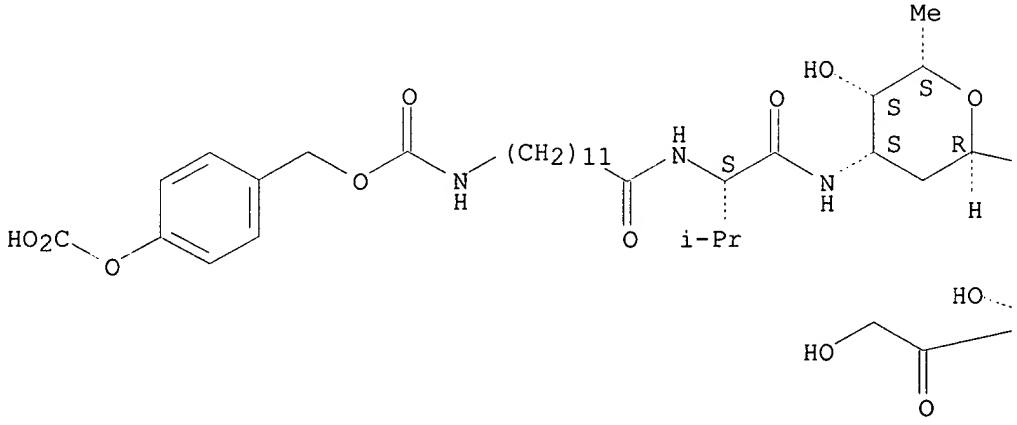
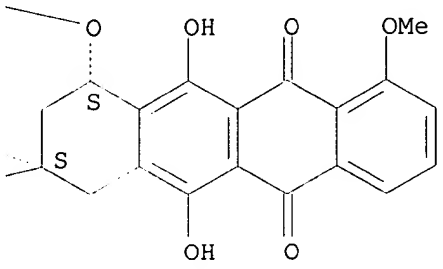
CN 5,12-Naphthacenedione, 10-[[3-[[(2S)-2-[[12-[[[[4-(carboxyoxy)phenyl]methoxy]carbonyl]amino]-1-oxododecyl]amino]-3-methyl-1-oxobutyl]amino]-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

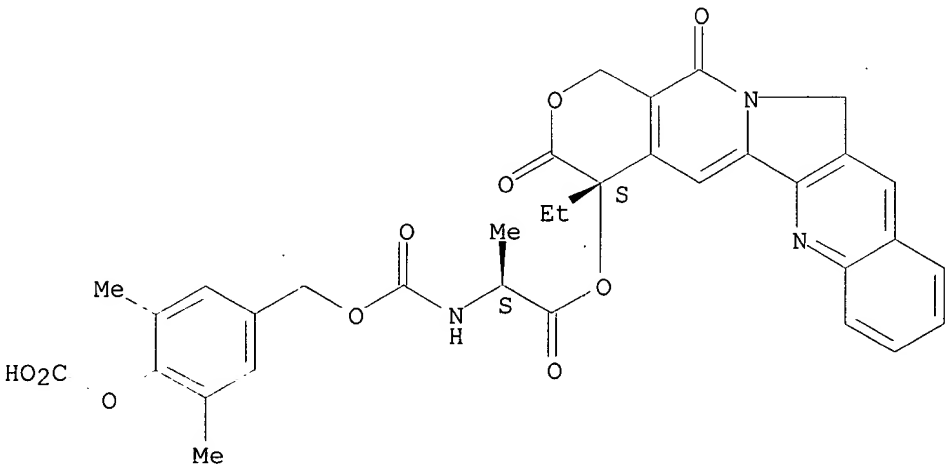
PAGE 1-A





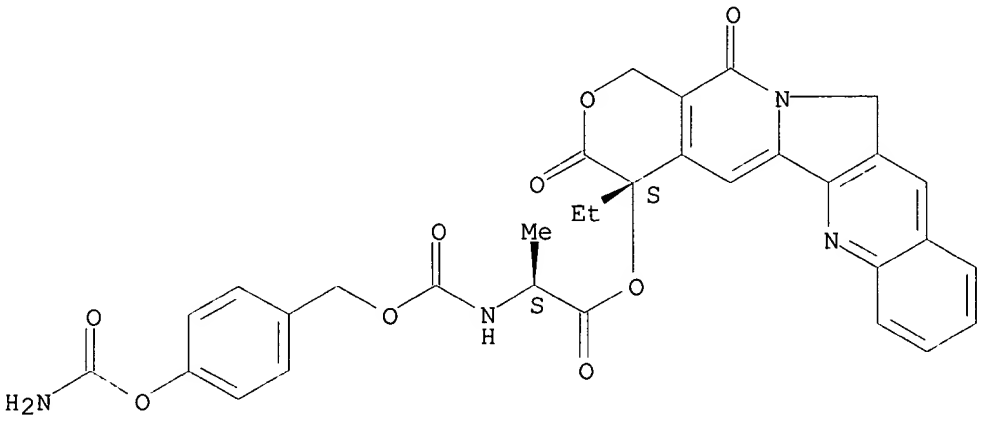
RN 366807-73-0 HCAPLUS
CN L-Alanine, N-[[[4-(carboxyoxy)-3,5-dimethylphenyl]methoxy]carbonyl]-, 1-[(4S)-4-ethyl-3,4,12,14-tetrahydro-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-4-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



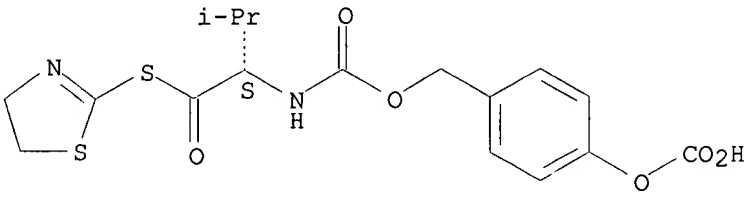
RN 366807-75-2 HCAPLUS
CN L-Alanine, N-[[[4-[(aminocarbonyl)oxy]phenyl]methoxy]carbonyl]-, (4S)-4-ethyl-3,4,12,14-tetrahydro-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 366807-76-3 HCAPLUS
CN Butanethioic acid, 2-[[[[4-(carboxyoxy)phenyl]methoxy]carbonyl]amino]-3-methyl-, S-(4,5-dihydro-2-thiazolyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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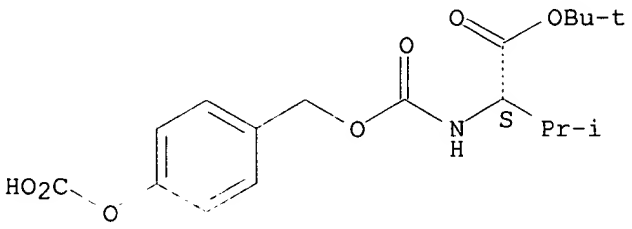
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antitumor activity of tetrapartate prodrugs)
RN 366807-42-3 HCAPLUS
CN L-Valine, N-[[[4-(carboxyoxy)phenyl]methoxy]carbonyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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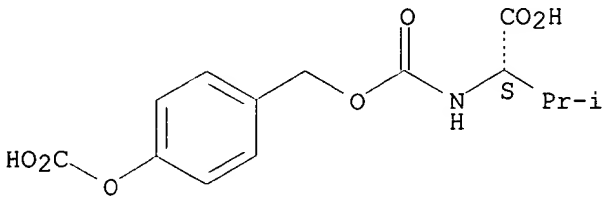
CN L-Valine, N-[[[4-(carboxyoxy)phenyl]methoxy]carbonyl]- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.



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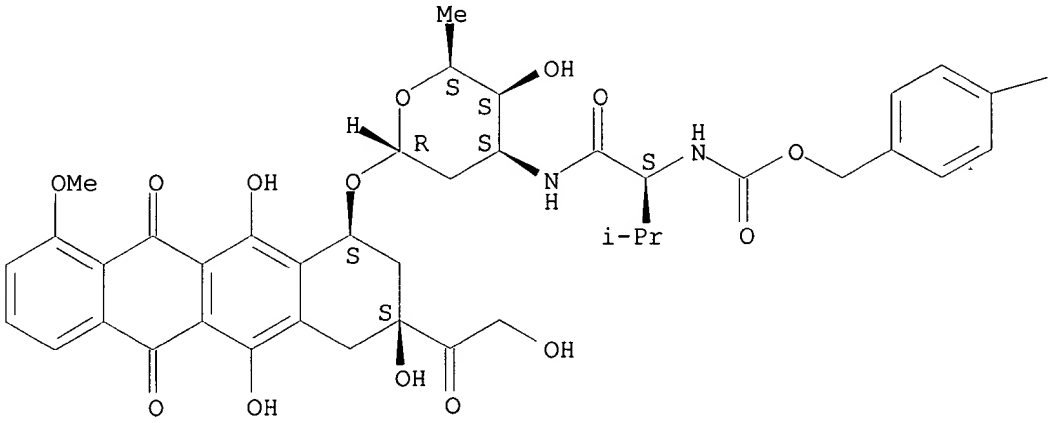
CN 5,12-Naphthacenedione, 10-[[3-[[(2S)-2-[[[[4-[(aminocarbonyl)oxy]phenyl]methoxy]carbonyl]amino]-3-methyl-1-oxobutyl]amino]-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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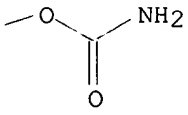

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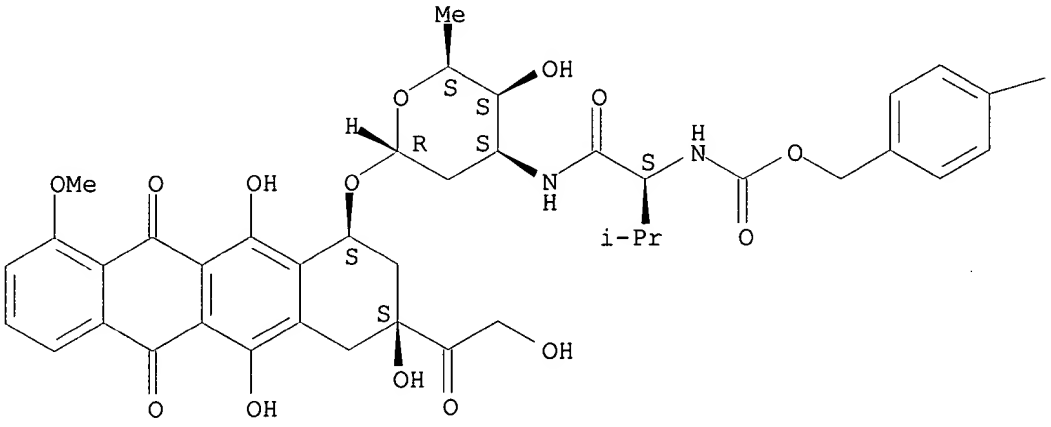
CN 5,12-Naphthacenedione, 10-[[3-[[(2S)-2-[[[[4-[[[(3-amino-3-oxopropyl)amino]carbonyl]oxy]phenyl]methoxy]carbonyl]amino]-3-methyl-1-oxobutyl]amino]-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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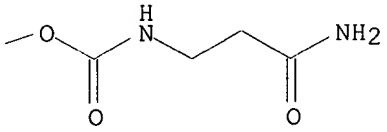

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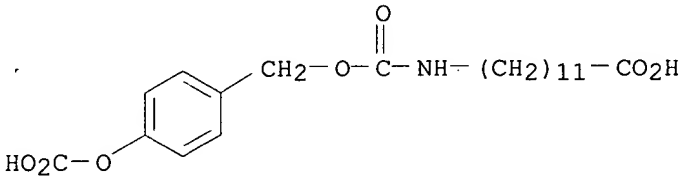
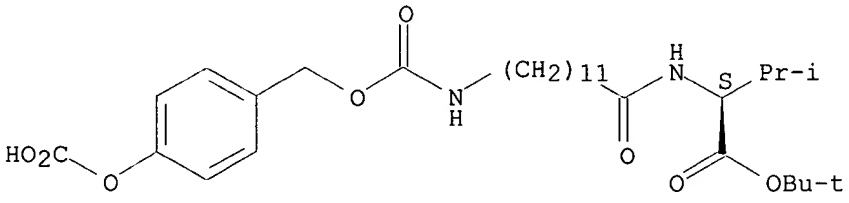


RN 366807-67-2 HCAPLUS
CN L-Valine, N-[12-[[[[4-(carboxyoxy)phenyl]methoxy]carbonyl]amino]-1-oxododecyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

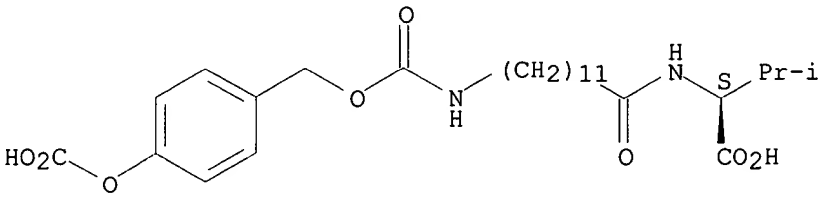
Absolute stereochemistry.



RN 366807-68-3 HCAPLUS

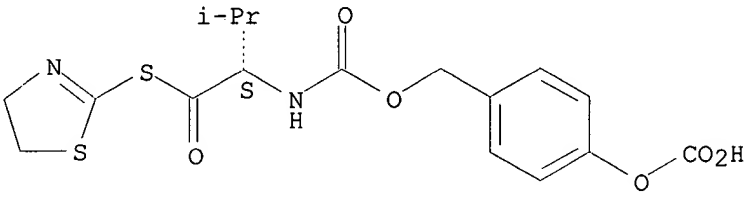
CN L-Valine, N-[12-[[[[4-(carboxyoxy)phenyl]methoxy]carbonyl]amino]-1-oxododecyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 366807-76-3 HCAPLUS
CN Butanethioic acid, 2-[[[[4-(carboxyoxy)phenyl]methoxy]carbonyl]amino]-3-methyl-, S-(4,5-dihydro-2-thiazolyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2002 ACS
2001:73389 Document No. 134:131767 Polymeric double **prodrug** transport system for amino- and hydroxyl-containing bioactive agents. Greenwald, Richard B.; Pendri, Annapurna; Choe, Yun H. (Enzon, Inc., USA). U.S. US 6180095 B1 20010130, 33 pp., Cont.-in-part of U.S. Ser. No. 992,435, abandoned. (English). CODEN: USXXAM. APPLICATION: US 1998-183557 19981030. PRIORITY: US 1997-992435 19971217.
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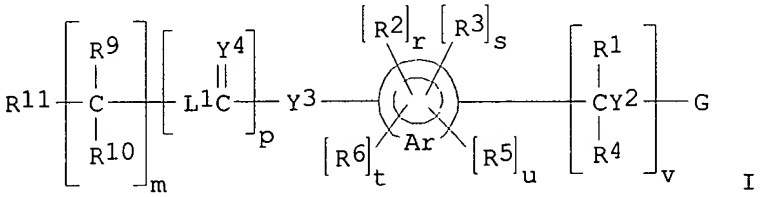


AB The title prodrugs [I; G = H, C:(Y1)B; B = H, leaving group, a residue of amine- or hydroxy-contg. moiety; L1 = bifunctional link; Y1-Y4 = O, S, NR12; R1, R4, R9, R10, R12 = H, (un)substituted C1-6 alkyl, C3-12 branched alkyl, C3-8 cycloalkyl, (un)substituted aryl, etc.; R2, R3, R5, R6 = H, (un)substituted C1-6 alkyl, C1-6 alkoxy, phenoxy, C1-8 hetero-alkyl, etc.; R11 = non-antigenic polymer; Ar = moiety which forms a multi-substituted aryl or heterocyclyl; m, r, s, t, u, v = 0, 1; p = 0, pos. integer] were prepd. The first **prodrug** is generated when the polymeric

portion of the double **prodrug** is cleaved and the parent mol. is generated rapidly thereafter in vivo, preferably as a result of a 1,6- or 1,4-benzyl elimination-reaction. Methods of prepg. I and methods of treatment are also disclosed. For example, thiazolidine thione-activated **polyethylene glycol** (**PEG**) carbamate PEGOCOQ (Q = N-bound 1,3-thiazolidine-2-thione residue; **PEG** mol. wt. 5000) was transesterified with 4-HOC6H4CH2OH in CH2Cl2 in the presence of 4-(dimethylamino)pyridine (DMAP) to give 87% carbonate PEGOCO2C6H4CH2OH-4. This was dried azeotropically with PhMe, esterified (70%) with ClCO2C6H4NO2-4 and the active carbonate trans-amidated by stirring for 18 h with daunorubicin.cntdot.HCl in DMF in the presence of DMAP to give 80% of a title **prodrug** PEGOCO2C6H4(CH2OCONH-Daun)-4 (Daun = daunomycin residue). Biol. data supporting in vitro and in vivo antitumor activity of 5 daunorubicin prodrugs I are given.

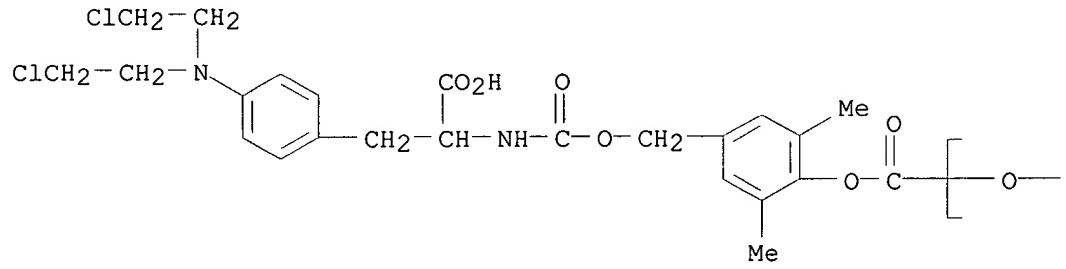
IT **228091-67-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polymeric double **prodrug** transport system for amino- and hydroxyl-contg. bioactive agents)

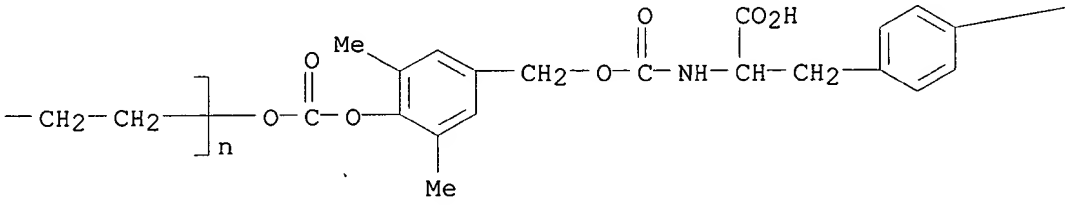
RN 228091-67-6 HCAPLUS

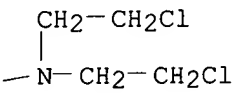
CN Poly(oxy-1,2-ethanediyl), .alpha.-[[4-[[[[[2-[4-[bis(2-chloroethyl)amino]phenyl]-1-carboxyethyl]amino]carbonyl]oxy]methyl]-2,6-dimethylphenoxy]carbonyl]-.omega.-[[[4-[[[[[2-[4-[bis(2-chloroethyl)amino]phenyl]-1-carboxyethyl]amino]carbonyl]oxy]methyl]-2,6-dimethylphenoxy]carbonyl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B





L9 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2002 ACS
1999:404853 Document No. 131:59098 Polymeric double **prodrug** transport system for amino- and hydroxyl-containing bioactive agents. Greenwald, Richard B.; Pendri, Annapurna; Choe, Yun H. (Enzon, Inc., USA). PCT Int. Appl. WO 9930727 A1 19990624, 74 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-US26565 19981214. PRIORITY: US 1997-992435 19971217; US 1998-183557 19981030.

GI For diagram(s), see printed CA Issue.

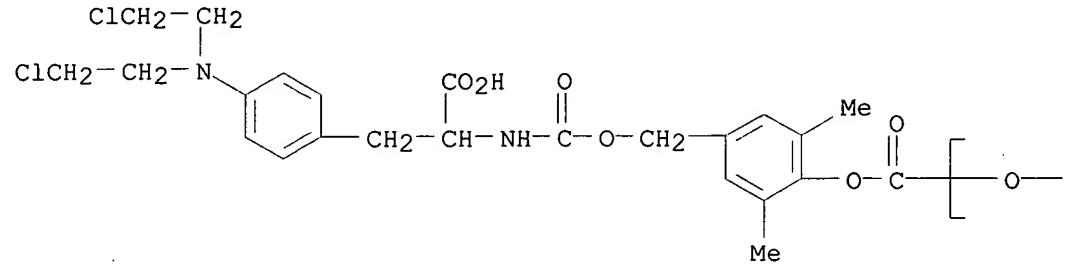
AB The title prodrugs [I; G = H, C:(Y1)B; B = H, leaving group, a residue of amine- or hydroxy-contg. moiety; L1 = bifunctional link; Y1-Y4 = O, S, NR12; R1, R4, R9, R10, R12 = H, (un)substituted C1-6 alkyl, C3-12 branched alkyl, C3-8 cycloalkyl, (un)substituted aryl, etc.; R2, R3, R5, R6 = H, (un)substituted C1-6 alkyl, C1-6 alkoxy, phenoxy, C1-8 hetero-alkyl, etc.; R11 = non-antigenic polymer; Ar = moiety which forms a multi-substituted aryl or heterocyclyl; m, r, s, t, u, v = 0, 1; p = 0, pos. integer] were prepd. The first **prodrug** is generated when the polymeric portion of the double **prodrug** is cleaved and the parent mol. is generated rapidly thereafter in vivo, preferably as a result of a 1,6- or 1,4-benzyl elimination-reaction. Methods of prepg. I and methods of treatment are also disclosed. For example, thiazolidine thione-activated **polyethylene glycol** (**PEG**) carbamate PEGOCOQ (Q = N-bound 1,3-thiazolidine-2-thione residue; **PEG** mol. wt. 5000) was transesterified with 4-HOC6H4CH2OH in CH2Cl2 in the presence of 4-(dimethylamino)pyridine (DMAP) to give 87% carbonate PEGOCO2C6H4CH2OH-4. This was dried azeotropically with PhMe, esterified (70%) with ClCO2C6H4NO2-4 and the active carbonate trans-amidated by stirring for 18 h with daunorubicin.cntdot.HCl in DMF in the presence of DMAP to give 80% of a title **prodrug** PEGOCO2C6H4(CH2OCONH-Daun)-4 (Daun = daunomycin residue). Biol. data supporting in vitro and in vivo antitumor activity of 5 daunorubicin prodrugs I are given.

IT **228091-67-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of polymeric double **prodrug** transport system for amino- and hydroxyl-contg. bioactive agents)

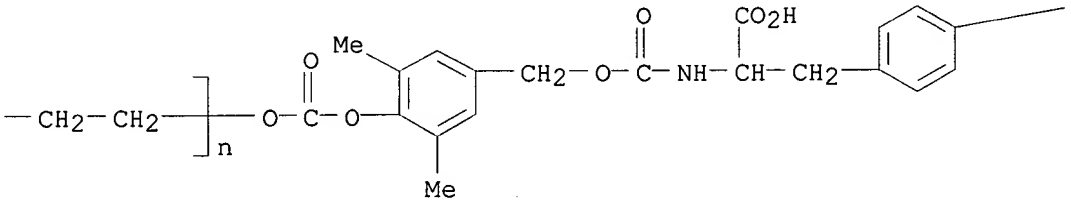
RN 228091-67-6 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), .alpha.-[[4-[[[[[2-[4-[bis(2-chloroethyl)amino]phenyl]-1-carboxyethyl]amino]carbonyl]oxy]methyl]-2,6-dimethylphenoxy]carbonyl]-.omega.-[[[4-[[[[[2-[4-[bis(2-chloroethyl)amino]phenyl]-1-carboxyethyl]amino]carbonyl]oxy]methyl]-2,6-dimethylphenoxy]carbonyl]oxy]- (9CI) (CA INDEX NAME)

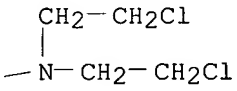
PAGE 1-A



PAGE 1-B



PAGE 1-C



L9 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2002 ACS

1998:431176 Document No. 129:203230 Chemoenzymic Synthesis of N-Ras Lipopeptides. Naegele, Edgar; Schelhaas, Michael; Kuder, Norman; Waldmann, Herbert (Department of Organic Chemistry, University of Karlsruhe, Karlsruhe, D-76128, Germany). J. Am. Chem. Soc., 120(28), 6889-6902 (English) 1998. CODEN: JACSAT. ISSN: 0002-7863. OTHER SOURCES: CASREACT 129:203230. Publisher: American Chemical Society.

AB For the study of biol. phenomena influenced by the plasma-membrane-bound Ras proteins and other lipidated proteins, characteristic peptides which embody the correct lipid modifications of their parent proteins (palmitoyl thioesters and farnesyl thioethers), as well as analogs thereof, may serve as suitable tools. For the construction of such acid- and base-labile peptide **conjugates**, the enzyme-labile p-acetoxybenzyloxycarbonyl (AcOZ) urethane blocking group was developed. The acetate moiety within the AcOZ group is easily sapond. by treatment with acetyl esterase or lipase. After cleavage of the acetate group the resulting quinone methide spontaneously fragments, resulting in the liberation of the desired peptide or peptide **conjugates**. This enzymic protecting group technique formed the key step in the synthesis of the characteristic S-palmitoylated and S-farnesylated C-terminus of the human N-Ras protein. Deprotections are so mild that no undesired side reactions of the lipid **conjugates** are obsd. (i.e., no hydrolysis or .beta.-elimination of

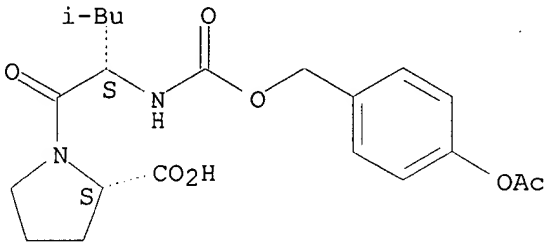
the thioester and no acid-mediated attack on the double bonds of the farnesyl group). The combination of enzymic protecting group techniques with classical chem. methods allowed access to various fluorescent-labeled and differently lipid-modified Ras lipopeptides. Their application in biol. expts. enabled the study of the structural requirements for the acylation of Ras sequence motifs in vivo and gave insight into the subcellular site at which these modifications occur. The results indicate that the plasma membrane is a major site of cellular S-acylation. This supports a mechanism for the selective subcellular localization of lipidated proteins, including the Ras proteins themselves, by kinetic targeting to the plasma membrane.

IT **170892-89-4P 170892-90-7P 170892-92-9P**
170892-93-0P
RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation)
(chemoenzymic synthesis of N-ras lipopeptides using enzyme-labile (acetyloxy)benzyloxycarbonyl protective groups)

RN 170892-89-4 HCAPLUS

CN L-Proline, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

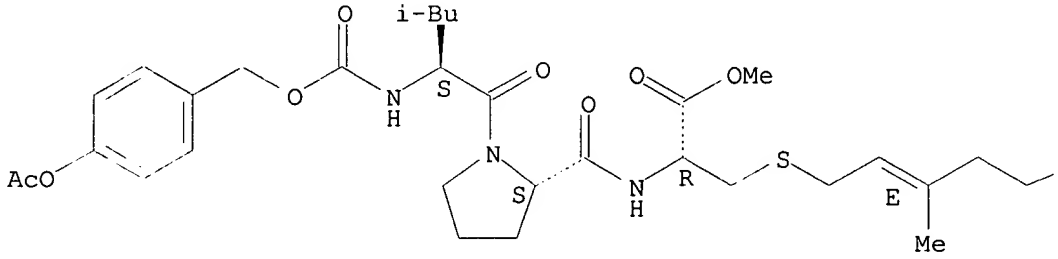


RN 170892-90-7 HCAPLUS

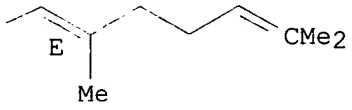
CN L-Cysteine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-leucyl-L-prolyl-S-[(2E,6E)-3,7,11-trimethyl-2,6,10-dodecatrienyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

PAGE 1-A

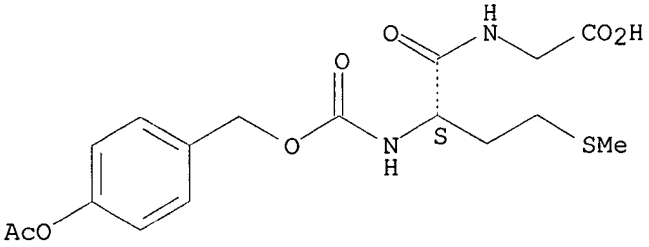


PAGE 1-B



RN 170892-92-9 HCAPLUS
CN Glycine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-methionyl- (9CI) (CA INDEX NAME)

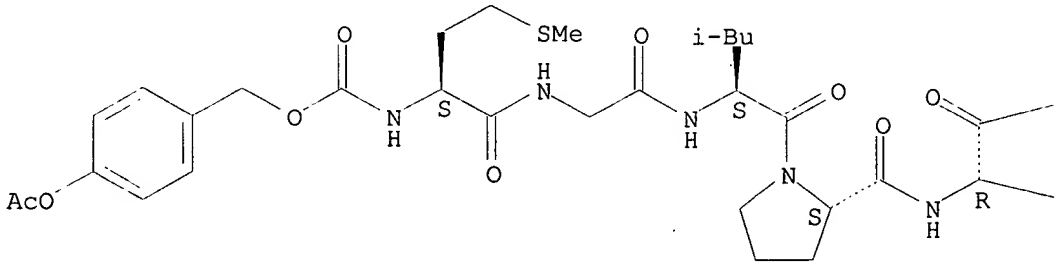
Absolute stereochemistry. Rotation (-).



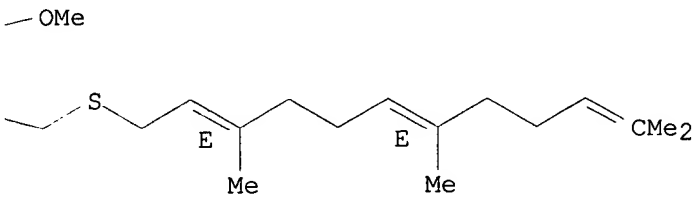
RN 170892-93-0 HCAPLUS
CN L-Cysteine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-methionylglycyl-L-leucyl-L-prolyl-S-[(2E,6E)-3,7,11-trimethyl-2,6,10-dodecatrienyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

PAGE 1-A



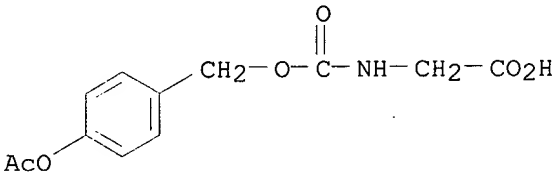
PAGE 1-B



IT **50444-49-0P 201407-28-5P 201407-30-9P**
212119-78-3P 212119-79-4P 212119-82-9P
212119-83-0P 212120-29-1P 212120-30-4P
212120-31-5P 212120-32-6P 212120-33-7P
212120-34-8P 212120-35-9P 212120-36-0P
212120-37-1P 212120-39-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(chemoenzymic synthesis of N-ras lipopeptides using enzyme-labile (acetyloxy)benzyloxycarbonyl protective groups)

RN 50444-49-0 HCAPLUS

CN Glycine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]- (9CI) (CA INDEX NAME)

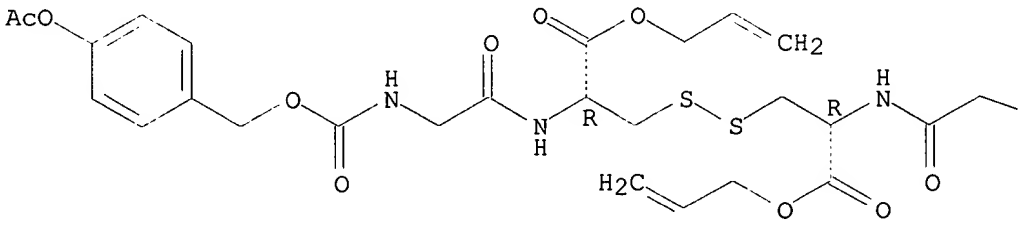


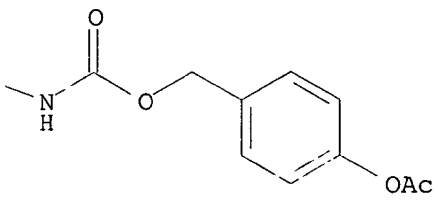
RN 201407-28-5 HCAPLUS

CN L-Cysteine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]glycyl-, 2-propenyl ester, bimol. (2.fwdarw.2')-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

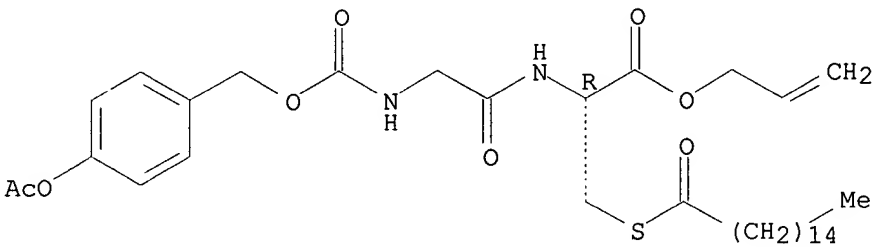
PAGE 1-A





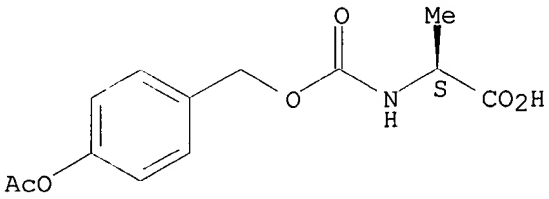
RN 201407-30-9 HCAPLUS
CN L-Cysteine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]glycyl-, 2-propenyl ester, hexadecanoate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



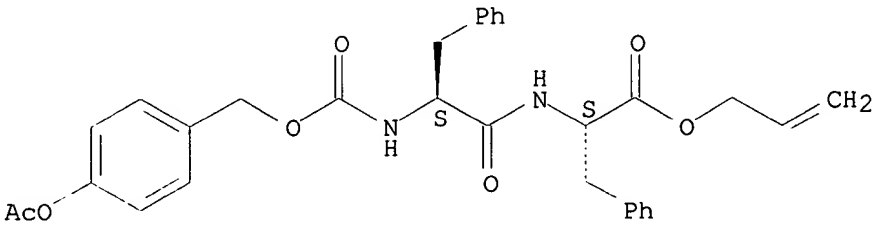
RN 212119-78-3 HCAPLUS
CN L-Alanine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



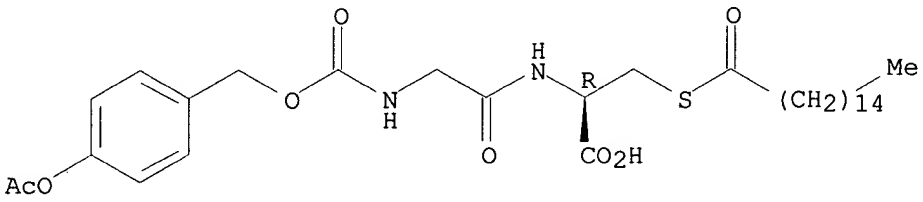
RN 212119-79-4 HCAPLUS
CN L-Phenylalanine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-phenylalanyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 212119-82-9 HCAPLUS
CN L-Cysteine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]glycyl-, hexadecanoate (ester) (9CI) (CA INDEX NAME)

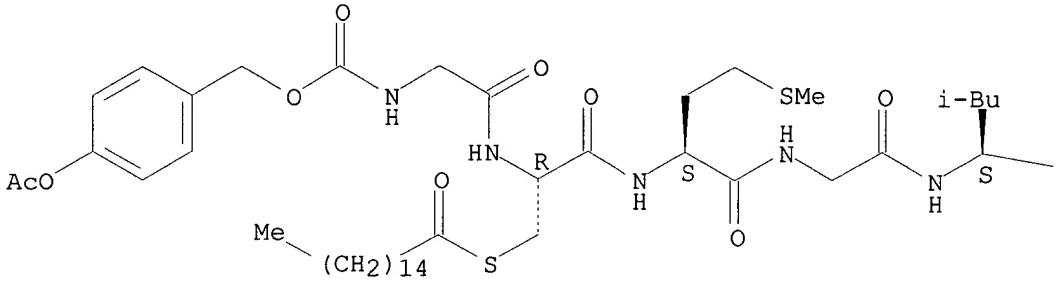
Absolute stereochemistry. Rotation (-).



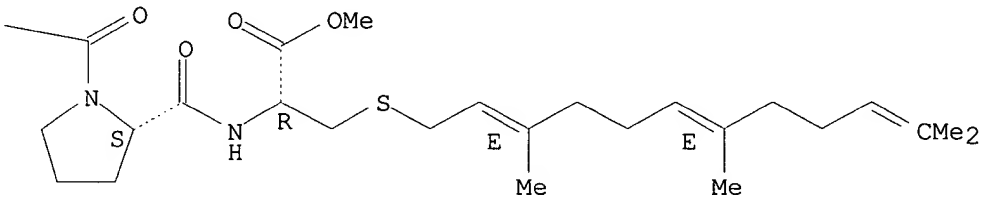
RN 212119-83-0 HCAPLUS
CN L-Cysteine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]glycyl-S-(1-oxohexadecyl)-L-cysteinyl-L-methionylglycyl-L-leucyl-L-prolyl-S-[(2E,6E)-3,7,11-trimethyl-2,6,10-dodecatrienyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

PAGE 1-A

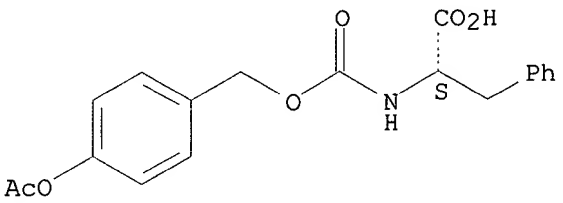


PAGE 1-B



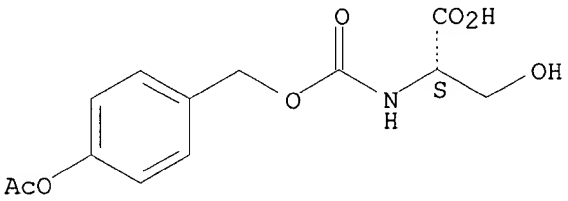
RN 212120-29-1 HCAPLUS
CN L-Phenylalanine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



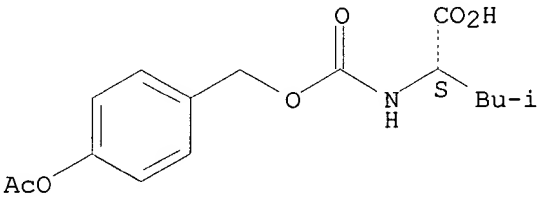
RN 212120-30-4 HCAPLUS
CN L-Serine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



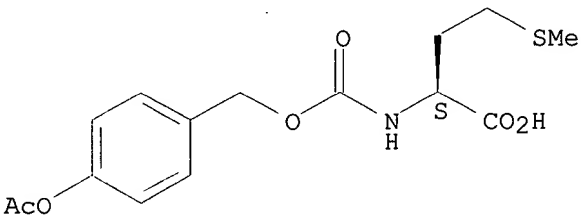
RN 212120-31-5 HCAPLUS
CN L-Leucine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 212120-32-6 HCAPLUS
CN L-Methionine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]- (9CI) (CA INDEX NAME)

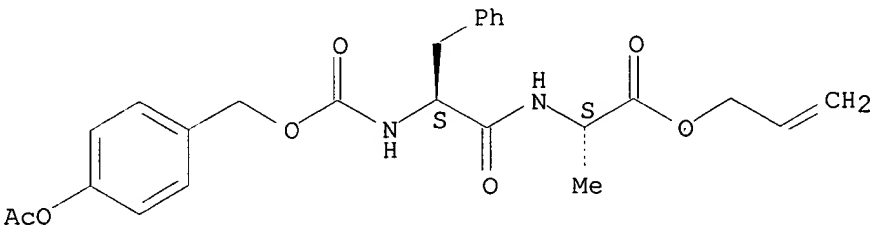
Absolute stereochemistry. Rotation (+).



RN 212120-33-7 HCAPLUS
CN L-Alanine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-phenylalanyl-,

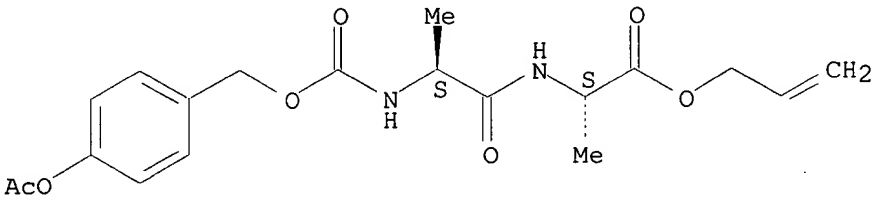
2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



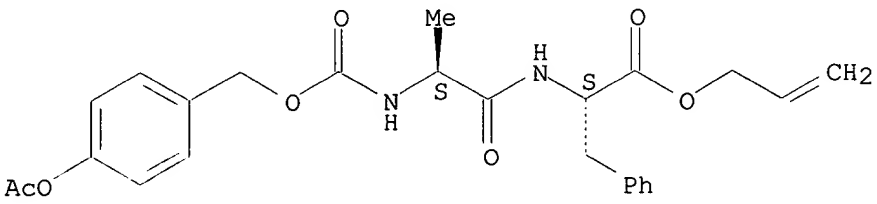
RN 212120-34-8 HCAPLUS
CN L-Alanine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-alanyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



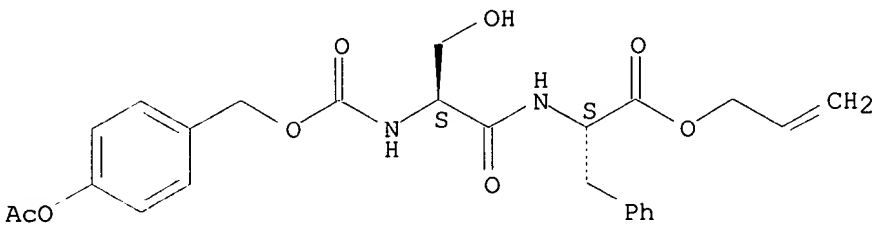
RN 212120-35-9 HCAPLUS
CN L-Phenylalanine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-alanyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



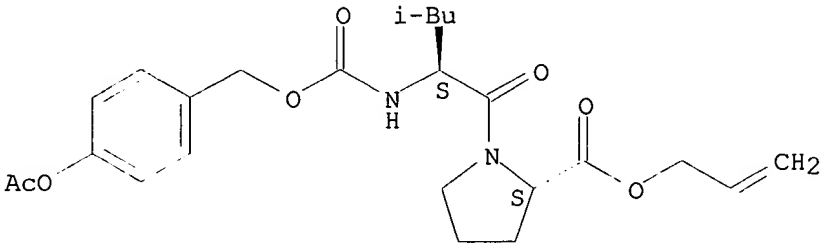
RN 212120-36-0 HCAPLUS
CN L-Phenylalanine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-seryl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



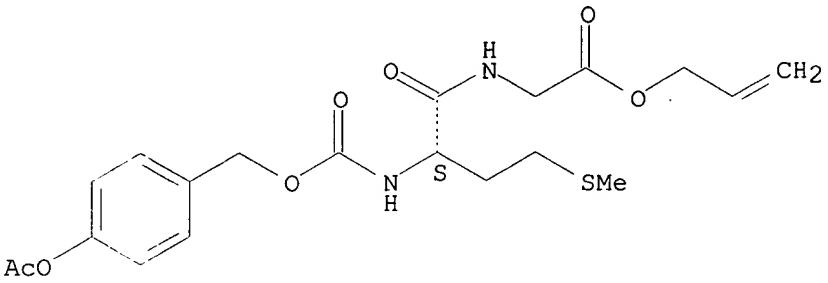
RN 212120-37-1 HCAPLUS
CN L-Proline, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-leucyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



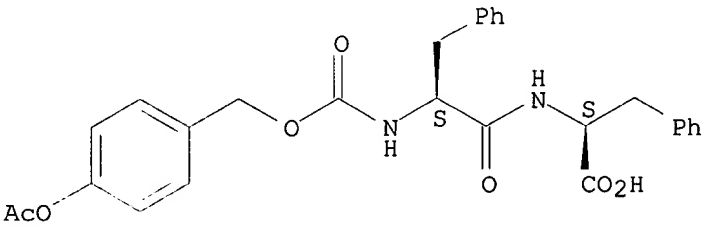
RN 212120-39-3 HCAPLUS
CN Glycine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-methionyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **212119-81-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(chemoenzymic synthesis of N-ras lipopeptides using enzyme-labile (acetyloxy)benzyloxycarbonyl protective groups)
RN 212119-81-8 HCAPLUS
CN L-Phenylalanine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L9 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2002 ACS
1997:457116 Document No. 127:136056 Chemoenzymic Synthesis of a Characteristic Phosphorylated and Glycosylated Peptide Fragment of the Large Subunit of Mammalian RNA Polymerase II. Pohl, Torsten; Waldmann,

Herbert (Department of Organic Chemistry, University of Karlsruhe, Karlsruhe, D-76128, Germany). J. Am. Chem. Soc., 119(29), 6702-6710 (English) 1997. CODEN: JACSAT. ISSN: 0002-7863. Publisher: American Chemical Society.

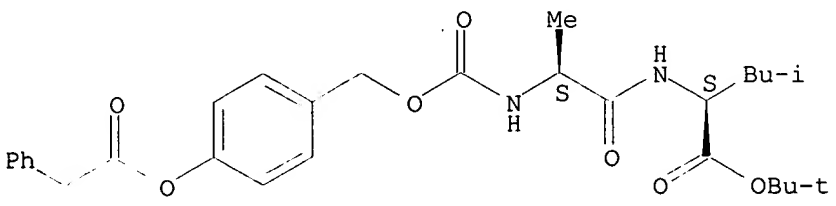
AB The covalent modification of proteins by phosphorylation and addn. of GlcNAc residues are important regulatory processes which mediate biol. signal transduction. For instance, the cytosolic form of RNA polymerase II is heavily glycosylated but during its transition from an initiating to an elongating complex the carbohydrates are removed and the protein is phosphorylated. For the study of such biol. phenomena, characteristic peptides which embody both types of modifications may serve as efficient tools. However, their synthesis is complicated by their pronounced acid and base lability as well as their multifunctionality. These properties make the application of protecting groups necessary which can be removed under the mildest conditions. For the construction of such peptide **conjugates** the enzyme labile (phenylacetyloxy)benzoylxycarbonyl (PhAcOZ) urethane blocking group was developed. This protecting group embodies (a) a phenylacetate group that is recognized by biocatalyst penicillin G acylase and that is bound by an enzyme-labile ester linkage to (b) a p-hydroxybenzyl urethane functional group that undergoes a spontaneous fragmentation upon cleavage of the enzyme-sensitive bond resulting in (c) the liberation of a carbamic acid deriv. which decarboxylates to give the desired peptide or peptide **conjugate**. When this enzymic protecting group technique was combined with classical chem. methods, a complex phosphoglycohexapeptide was built up, which embodies two glycosylated, one phosphorylated, and one underivatized hydroxyamino acid. This peptide represents a characteristic partial structure of the repeat sequence of the large subunit of RNA polymerase II which becomes glycosylated or phosphorylated while the enzyme carries out its biol. functions. The conditions under which the enzymic deprotections proceed are so mild that no undesired side reaction is obsd. (i.e., no rupture or anomerization of the glycosidic bonds and no .beta.-elimination of the phosphate or a carbohydrate occur). In addn., the specificity of the biocatalyst guarantees that the peptide bonds and the other protecting groups present are not attacked either.

IT **182485-42-3P 182485-43-4P 182485-44-5P**
182485-45-6P 182485-46-7P 182485-47-8P
182485-48-9P 182485-55-8P 192999-59-0P
192999-60-3P 192999-62-5P 192999-63-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
((phenylacetyloxy)benzyloxycarbonyl protective groups in solid-phase prepn. of characteristic phosphorylated and glycosylated peptide fragment of the large subunit of mammalian RNA polymerase II)

RN 182485-42-3 HCAPLUS

CN L-Leucine, N-[[[4-[(phenylacetyl)oxy]phenyl]methoxy]carbonyl]-L-alanyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

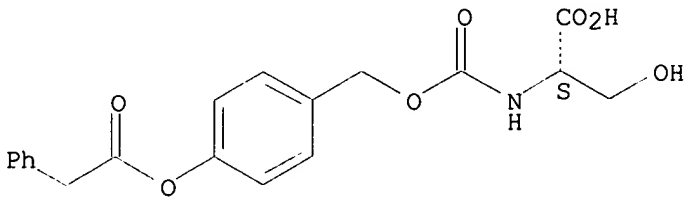


RN 182485-43-4 HCAPLUS

CN Benzeneacetic acid, 4-[[[[(1-carboxy-2-hydroxyethyl)amino]carbonyl]oxy]met

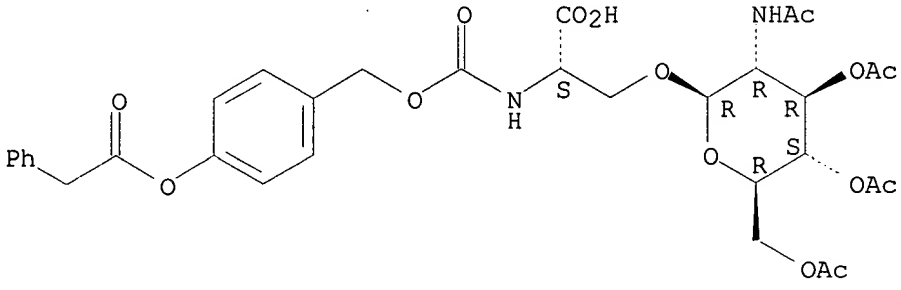
hyl]phenyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



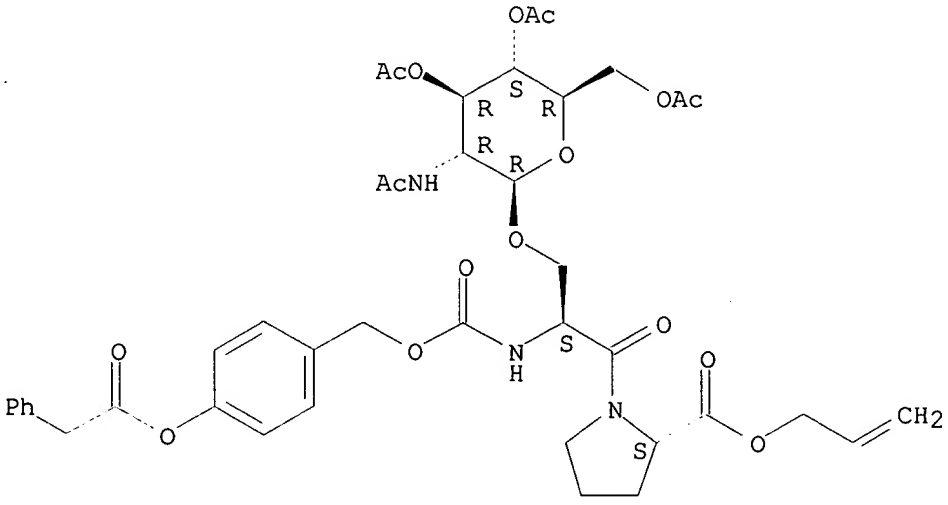
RN 182485-44-5 HCAPLUS
CN L-Serine, N-[[[4-[(phenylacetyl)oxy]phenyl]methoxy]carbonyl]-O-[3,4,6-tri-O-acetyl-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 182485-45-6 HCAPLUS
CN L-Proline, N-[[[4-[(phenylacetyl)oxy]phenyl]methoxy]carbonyl]-O-[3,4,6-tri-O-acetyl-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]-L-seryl-, 2-propenyl ester (9CI) (CA INDEX NAME)

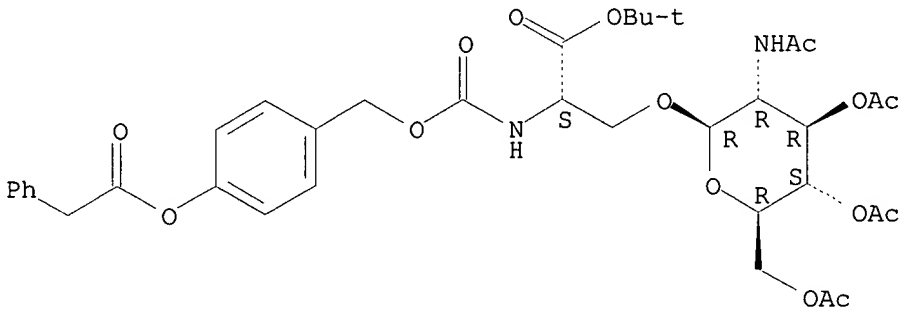
Absolute stereochemistry. Rotation (-).



RN 182485-46-7 HCAPLUS

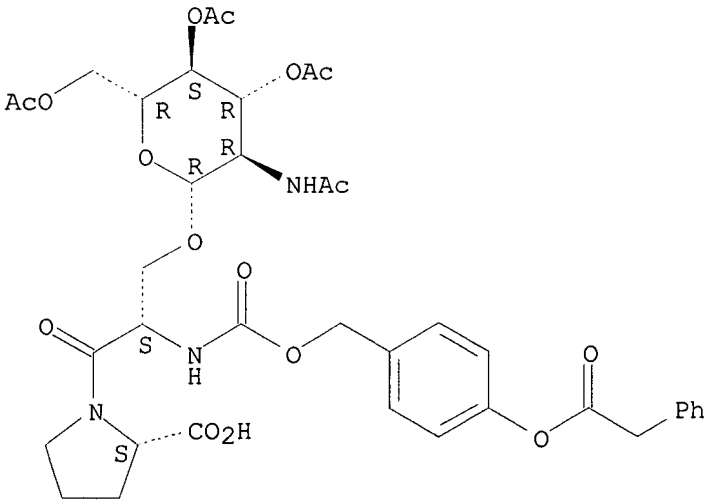
CN L-Serine, N-[[[4-[(phenylacetyl)oxy]phenyl]methoxy]carbonyl]-O-[3,4,6-tri-O-acetyl-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 182485-47-8 HCAPLUS
CN L-Proline, N-[[[4-[(phenylacetyl)oxy]phenyl]methoxy]carbonyl]-O-[3,4,6-tri-O-acetyl-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]-L-seryl- (9CI) (CA INDEX NAME)

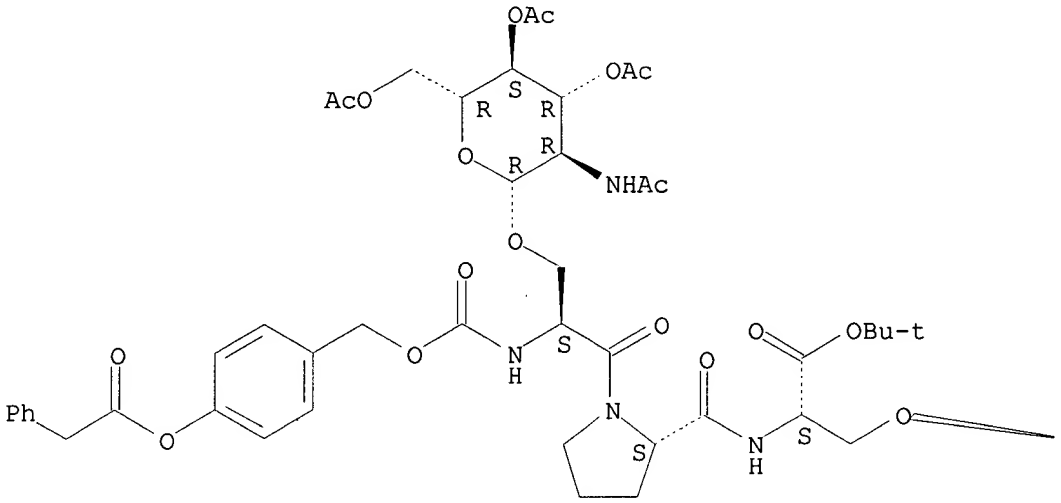
Absolute stereochemistry. Rotation (-).



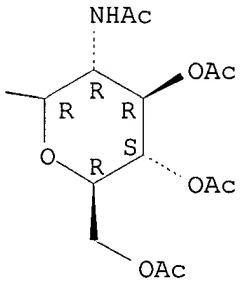
RN 182485-48-9 HCAPLUS
CN L-Serine, N-[[[4-[(phenylacetyl)oxy]phenyl]methoxy]carbonyl]-O-[3,4,6-tri-O-acetyl-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]-L-seryl-L-prolyl-O-[3,4,6-tri-O-acetyl-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A

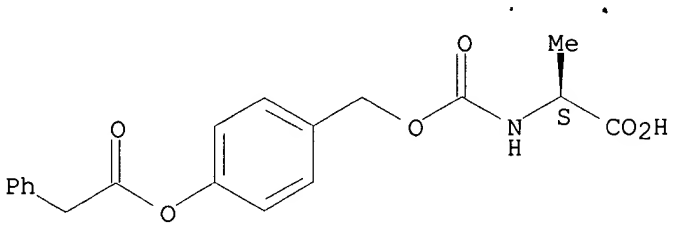


PAGE 1-B



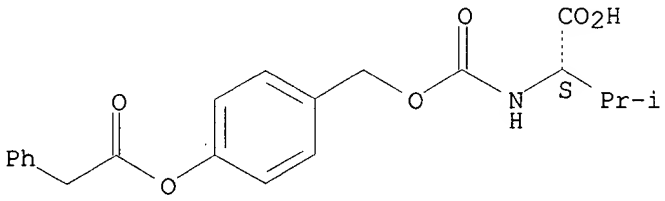
RN 182485-55-8 HCAPLUS
CN Benzeneacetic acid, 4-[[[[[(1S)-1-carboxyethyl]amino]carbonyl]oxy]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



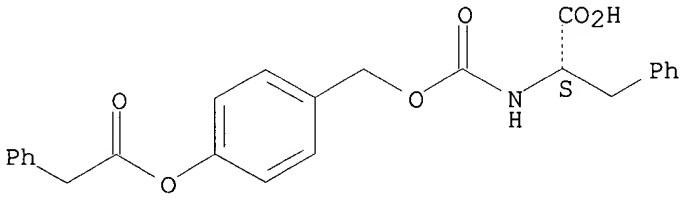
RN 192999-59-0 HCAPLUS
CN Benzeneacetic acid, 4-[[[[[(1S)-1-carboxy-2-methylpropyl]amino]carbonyl]oxy]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



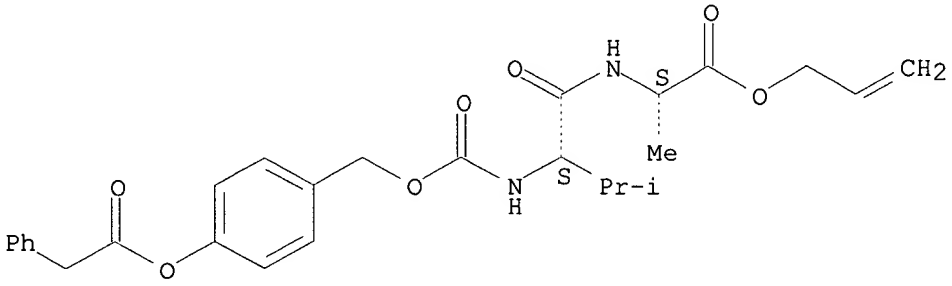
RN 192999-60-3 HCAPLUS
CN L-Phenylalanine, N-[[[4-[(phenylacetyl)oxy]phenyl]methoxy]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 192999-62-5 HCAPLUS
CN L-Alanine, N-[[[4-[(phenylacetyl)oxy]phenyl]methoxy]carbonyl]-L-valyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

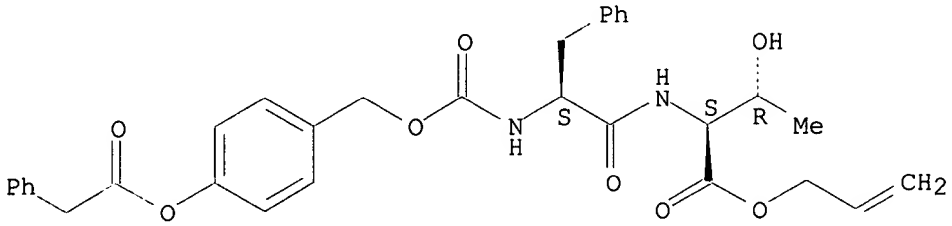
Absolute stereochemistry. Rotation (-).



RN 192999-63-6 HCAPLUS
CN L-Threonine, N-[[[4-[(phenylacetyl)oxy]phenyl]methoxy]carbonyl]-L-

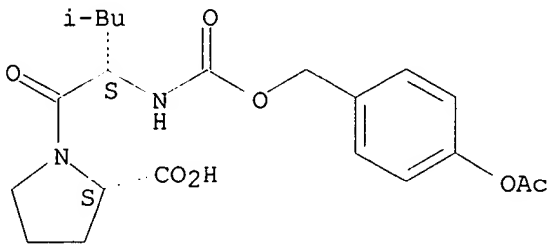
phenylalanyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



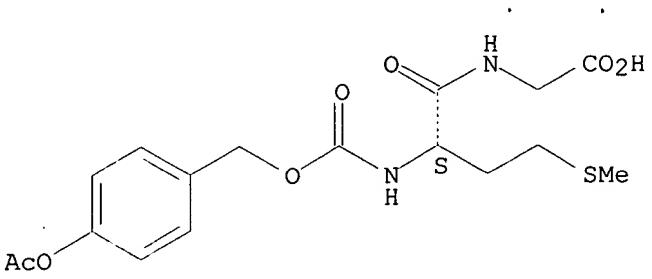
L9 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2002 ACS
1995:930410 Document No. 124:4382 Synthesis of the palmitoylated and farnesylated C-terminal lipohexapeptide of the human N-ras protein by employing an enzymically removable urethane protecting group. Waldmann, Herbert; Naegele, Edgar (Inst. Org. Chem., Univ. Karlsruhe, Karlsruhe, D-76128, Germany). Angew. Chem., Int. Ed. Engl., 34(20), 2259-62 (English) 1995. CODEN: ACIEAY. ISSN: 0570-0833.
AB The authors report that p-acetoxybenzyloxycarbonyl-urethanes can be cleaved enzymically under mild conditions (pH 7, 45.degree.) from peptides and that this protecting group technique can be advantageously applied for the construction of complex and sensitive, biol. relevant peptide **conjugates** like the characteristic S-farnesylated and S-palmitoylated C-terminal lipohexapeptide of the human N-Ras protein.
IT **170892-89-4 170892-92-9**
RL: RCT (Reactant)
(synthesis of C-terminal lipohexapeptide of human N-ras protein by employing enzymically removable urethane protecting group)
RN 170892-89-4 HCAPLUS
CN L-Proline, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 170892-92-9 HCAPLUS
CN Glycine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-methionyl- (9CI) (CA INDEX NAME)

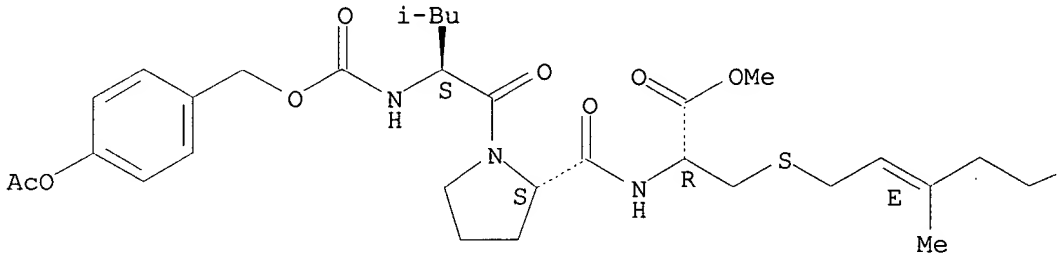
Absolute stereochemistry. Rotation (-).



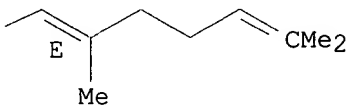
IT **170892-90-7P 170892-93-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of C-terminal lipohexapeptide of human N-ras protein by employing enzymically removable urethane protecting group)
RN 170892-90-7 HCAPLUS
CN L-Cysteine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-leucyl-L-prolyl-S-[(2E,6E)-3,7,11-trimethyl-2,6,10-dodecatrienyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

PAGE 1-A



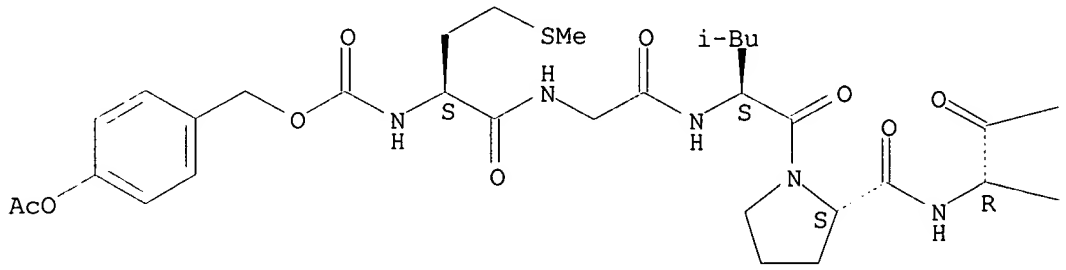
PAGE 1-B



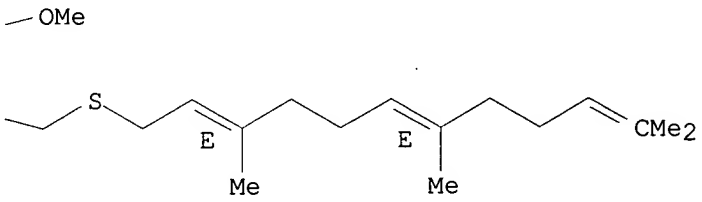
RN 170892-93-0 HCAPLUS
CN L-Cysteine, N-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]-L-methionylglycyl-L-leucyl-L-prolyl-S-[(2E,6E)-3,7,11-trimethyl-2,6,10-dodecatrienyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

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=> s l8 not l9
L10          16 L8 NOT L9

=> d 1-16 ibib abs

L10  ANSWER 1 OF 16  HCAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         2001:512478  HCAPLUS
DOCUMENT NUMBER:          135:273201
TITLE:                    Synthesis of lipidated eNOS peptides by combining
                          enzymatic, noble metal- and acid-mediated protecting
                          group techniques with solid phase peptide synthesis
                          and fragment condensation in solution
AUTHOR(S):                Machauer, Rainer; Waldmann, Herbert
CORPORATE SOURCE:         Universitat Karlsruhe, Institut fur Organische Chemie,
                          Karlsruhe, 76128, Germany
SOURCE:                   Chemistry--A European Journal (2001), 7(13), 2940-2956
                          CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER:                Wiley-VCH Verlag GmbH
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
AB    The authors have developed an efficient synthesis strategy that allows for
      the synthesis of long, multiply lipidated peptides contg. various side
      chain functional groups.  The strategy was successfully applied in the
      synthesis of the N-terminal undetrigintapeptide of endothelial NO-synthase
      (eNOS) and its lipopeptide intermediates.  Key elements of the synthesis
      strategy were the combined use of the enzyme-labile para-
      phenylacetoxybenzyloxycarbonyl (PhAcOZ) urethane as N-terminal blocking
      group, the Pd0-sensitive allyl ester as C-terminal protecting function and
      acid-labile side chain protecting groups for soln.-phase synthesis of
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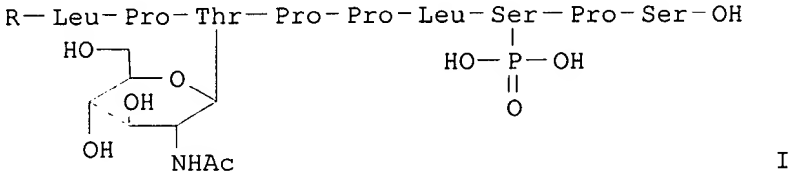
labile S-palmitoylated building blocks under the mildest conditions with solid-phase techniques and soln.-phase fragment condensations. The successful synthesis of the triply lipidated 29-mer eNOS peptide convincingly demonstrated the full capacity of the protecting group methods.
REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:85037 HCAPLUS
DOCUMENT NUMBER: 134:281107
TITLE: Synthesis of nucleopeptides by an enzyme labile urethane protecting group
AUTHOR(S): Jeyaraj, D. A.; Waldmann, H.
CORPORATE SOURCE: Abteilung Chemische Biologie, Max-Planck-Institut fur molekulare Physiologie, Dortmund, D-44227, Germany
SOURCE: Tetrahedron Letters (2001), 42(5), 835-837
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis of acid- and base-labile nucleopeptides is accomplished by employing the enzyme labile phenylacetoxy benzyloxycarbonyl (PhAcOZ) urethane protecting group as the key technique. Selective enzymic deprotection was performed with Penicillin G acylase.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:753977 HCAPLUS
DOCUMENT NUMBER: 134:86516
TITLE: Synthesis of o-phosphorylated oligopeptides using phosphoramidite
AUTHOR(S): Li, Yanmei; Zhao, Yufen; Herbert, Waldmann
CORPORATE SOURCE: Bioorganic Phosphorus Chemistry Laboratory, Department of Chemistry, Tsinghua University, Beijing, 100084, Peop. Rep. China
SOURCE: Tsinghua Science and Technology (2000), 5(2), 163-166
CODEN: TSTEF7; ISSN: 1007-0214
PUBLISHER: Editorial Board of Journal of Tsinghua University
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:86516
AB Phosphopeptides were synthesized by using bis-alkyloxy-N,N-dialkyphosphoramidite reagent for the O-phosphorylation step followed by oxidn. Many hydroxy groups in oligopeptides can be phosphorylated in one step. Boc-Ser[P(:O)(OAll)2]-Ser[P(:O)(OAll)2]-OAll (All = allyl) was thus prepd.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:553870 HCAPLUS
DOCUMENT NUMBER: 133:322113
TITLE: Chemoenzymatic synthesis of a biotin-labeled glycophosphononapeptide of the c-Myc oncoprotein
AUTHOR(S): Kappes-Roth, Thomas; Waldmann, Herbert
CORPORATE SOURCE: Organische Chemie, Universitat Karlsruhe, Karlsruhe, Germany
SOURCE: Perkin 1 (2000), (16), 2579-2581

CODEN:. PERKE9
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:322113
GI



I

AB Glycophosphopeptides that represent characteristic partial sequences of the posttranslationally modified transcriptional activation domain of the c-Myc oncoprotein can be synthesized efficiently by a combination of enzymic and classical chem. techniques. Thus, c-Myc oncoprotein glycophosphononapeptide I (R = H) and its biotin-labeled deriv. I [R = 6-(biotinylamino)hexanoyl] were synthesized.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:337087 HCAPLUS
DOCUMENT NUMBER: 133:150884
TITLE: Enzymatic protecting group techniques for glyco- and phosphopeptide chemistry: synthesis of a glycophosphopeptide from human serum response factor
AUTHOR(S): Sander, Jorg; Waldmann, Herbert
CORPORATE SOURCE: Universitat Karlsruhe, Institut fur Organische Chemie, Germany
SOURCE: Chemistry--A European Journal (2000), 6(9), 1564-1577
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The covalent modification of proteins by phosphorylation and by glycosylation with GlcNAc residues are important regulatory processes which mediate biol. signal transduction. For the study of such biol. phenomena in mol. detail characteristic peptides which embody both types of modification may serve as efficient tools. However, their synthesis is complicated by their pronounced acid and base lability as well as their multifunctionality. For this purpose the enzyme-labile choline ester was developed. The choline ester can be removed selectively and in high yields from various GlcNAc-glycopeptides and phosphopeptides at pH 6.5 and 37.degree.C. The conditions under which the enzymic deprotections proceed are so mild that no undesirable side reactions are obsd. (i.e., no cleavage or anomerization of the glycosidic bonds and no .beta.-elimination of the phosphate or the carbohydrate occur). The specificity of the biocatalyst guarantees that neither the peptide bonds nor the other protecting groups present are being attacked. When this enzymic protecting group technique was combined with the enzyme-labile 4-(phenylacetoxy)-benzyloxycarbonyl (PhAcOZ) urethane protecting group a complex glycophosphopeptide could be built up. The glycopeptide is

equipped with a biotin label by which it can be traced in biol. systems. This peptide represents a characteristic partial structure of a glycosylated and phosphorylated sequence from the transactivation domain of serum response factor (SRF), a widely occurring human transcription factor.

REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

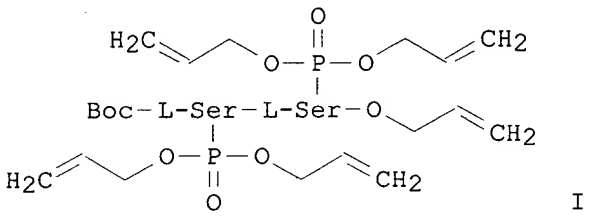
L10 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:310911 HCAPLUS
DOCUMENT NUMBER: 133:105338
TITLE: Synthesis of the N-terminal N-myristoylated and S-palmitoylated undetrigintapeptide of endothelial NO-synthase
AUTHOR(S): Machauer, Rainer; Waldmann, Herbert
CORPORATE SOURCE: Max-Planck-Institut fur molekulare Physiologie Abteilung Chemische Biologie, Dortmund, 44227, Germany
SOURCE: Angewandte Chemie, International Edition (2000), 39(8), 1449-1453
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors have accomplished a highly efficient synthesis of the N-myristoylated and twice S-palmitoylated 29mer peptide from the N-terminus of endothelial NO-synthase. The strategy relies on the combined use of enzyme-labile, acid-sensitive and noble metal-sensitive protecting groups for soln.-phase synthesis of S-palmitoylated building blocks under the mildest conditions with solid-phase and fragment condensation techniques. The results convincingly demonstrate the full capacity of the protecting group methods for the synthesis of large and multiply lipidated peptides.
REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:67277 HCAPLUS
DOCUMENT NUMBER: 132:248183
TITLE: Bioorganic synthesis of lipid-modified proteins for the study of signal transduction
AUTHOR(S): Bader, Benjamin; Kuhn, Karsten; Owen, David J.; Waldmann, Herbert; Wittinghofer, Alfred; Kuhlmann, Jurgen
CORPORATE SOURCE: Max-Planck Institut fur Molekulare Physiologie, Dortmund, 44227, Germany
SOURCE: Nature (London) (2000), 403(6766), 223-226
CODEN: NATUAS; ISSN: 0028-0836
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Biol. membranes define the boundaries of the cellular compartments in higher eukaryotes and are active in many processes such as signal transduction and vesicular transport. Although post-translational lipid modification of numerous proteins in signal transduction is crucial for biol. function, anal. of protein-protein interactions has mainly focused on recombinant proteins in soln. under defined in vitro conditions. Here we present a new strategy for the synthesis of such lipid-modified proteins. It involves the bacterial expression of a carboxy-terminally truncated non-lipidated protein, the chem. synthesis of differently lipidated peptides representing the C terminus of the proteins, and their

covalent coupling. Our technique is demonstrated using Ras constructs, which exhibit properties very similar to fully processed Ras, but can be produced in high yields and are open for selective modifications. These constructs are operative in biophys. and cellular assay systems, showing specific recognition of effectors by Ras lipoproteins inserted into the membrane surface of biosensors and transforming activity of oncogenic variants after microinjection into cultured cells.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:632108 HCAPLUS
DOCUMENT NUMBER: 131:337345
TITLE: O-phosphorylation of oligopeptides with phosphoramidite
AUTHOR(S): Li, Yan Mei; Zhao, Yu Fen; Waldmann, Herbert
CORPORATE SOURCE: Bio-organic Phosphorus Chemistry Laboratory, Department of Chemistry, Tsinghua University, Beijing, 100084, Peop. Rep. China
SOURCE: Chin. Chem. Lett. (1998), 9(12), 1075-1078
CODEN: CCLEE7; ISSN: 1001-8417
PUBLISHER: Springer-Verlag Singapore Pte. Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



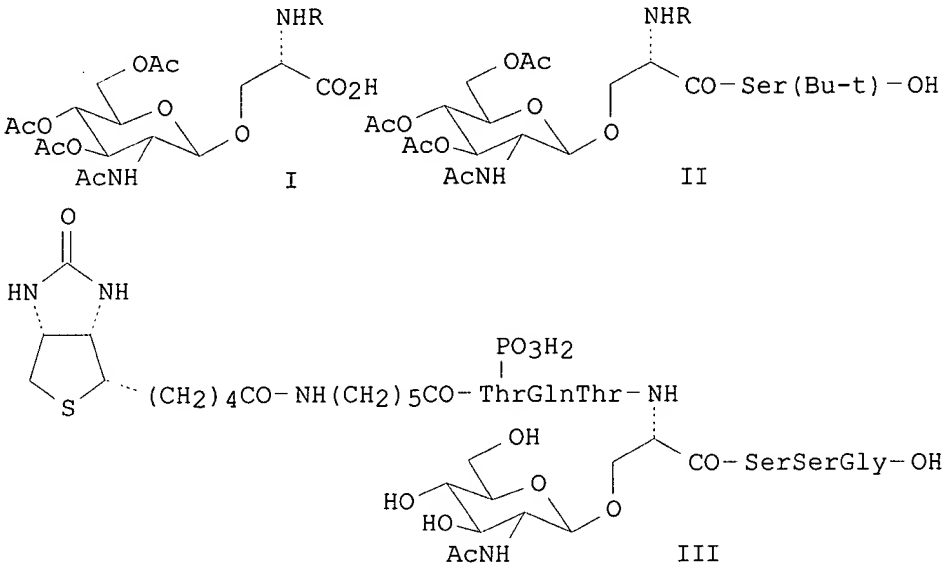
I

AB Phosphopeptides were synthesized using bis-alkyloxy-N,N-dialkylphosphoramidite as the O-phosphorylation reagent followed by oxidn. Many hydroxy groups in oligopeptides can be O-phosphorylated in one step. For example, Boc-Ser-Ser-OCH2CH:CH2 was reacted with (iso-Pr)2NP(OCH2CH:CH2)2 in the presence of 1H-tetrazole in dry CH3CN, followed by oxidn. with m-chloroperoxybenzoic acid to give phosphorylated dipeptide I in 79% yield.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:317890 HCAPLUS
DOCUMENT NUMBER: 131:88181
TITLE: Chemoenzymic synthesis of a characteristic glycophosphopeptide from the transactivation domain of the serum response factor
AUTHOR(S): Sander, Jorg; Waldmann, Herbert
CORPORATE SOURCE: Inst. Org. Chem., Univ. Karlsruhe, Karlsruhe, D-76128, Germany
SOURCE: Angewandte Chemie, International Edition (1999), 38(9), 1250-1252
CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The authors have devised a new and efficient strategy for the synthesis of glycosylated and phosphorylated peptides by using suitable enzyme-labile protecting groups. For example, O-glycosylated serine I [R = 4-(PhCH2CO2)C6H4CH2OCO] was condensed with serine choline ester, H-Ser(Bu-t)-OCH2CH2N+Me3.cntdot.Br-, followed by removal of the choline group with butyrylcholine esterase to give O-glycosyl dipeptide II in high yield without undesired side reactions. Using such strategies, glycophosphopeptide III was synthesized.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:322582 HCAPLUS
DOCUMENT NUMBER: 129:81290
TITLE: An enzyme-labile linker group for organic syntheses on solid supports
AUTHOR(S): Sauerbrei, Bernd; Jungmann, Volker; Waldmann, Herbert
CORPORATE SOURCE: Institut Organische Chemie Universitat, Karlsruhe, D-76128, Germany
SOURCE: Angew. Chem., Int. Ed. (1998), 37(8), 1143-1146
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:81290
AB A 4-acetoxy-3-carboxybenzyloxy group can be used as an enzyme-labile linker in solid-phase synthesis. Compds. at this anchor group can be released by a lipase-initiated fragmentation. Amines (bound as urethanes), alcs. (bound as carbonates), and carboxylic acids (bound as

esters) can be detached from the polymer carrier.

L10 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:38386 HCAPLUS
DOCUMENT NUMBER: 128:114573
TITLE: Enzyme cleavable linker for solid phase synthesis
INVENTOR(S): Waldmann, H.; Sauerbrei, Bernd; Grether, Uwe
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19626762	A1	19980108	DE 1996-19626762	19960703
CA 2258551	AA	19980115	CA 1997-2258551	19970627
WO 9801406	A1	19980115	WO 1997-EP3379	19970627
W: AL, AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9734385	A1	19980202	AU 1997-34385	19970627
EP 914307	A1	19990512	EP 1997-930430	19970627
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE, FI				
BR 9710190	A	19990810	BR 1997-10190	19970627
JP 2000514432	T2	20001031	JP 1998-504716	19970627
NO 9806158	A	19981230	NO 1998-6158	19981228
US 6271345	B1	20010807	US 1998-214100	19981228

PRIORITY APPLN. INFO.: DE 1996-19626762 A 19960703
WO 1997-EP3379 W 19970627
OTHER SOURCE(S): MARPAT 128:114573
AB An enzyme-cleavable linker for solid-phase synthesis comprises a fragment that is recognized by a hydrolytic enzyme and is decompd. by the action of the enzyme such that no linker residues remain attached to the synthesized product, but is different from the fragment at which the product is liberated by decompn. of the linker. Preferably, the product is released from the linker by elimination of CO2. The linker is preferably a substituted benzyl carbamate. Thus, 4,3-AcO(HO2C)C6H3CH2OH was prepd. from 5-methylsalicylic acid and was attached to TentaGel S-NH2 as the amide. The alc. was then converted to its chloroformate and treated with leucine tert.-Bu ester-HCl to give the carbamate. Treatment of this carbamate with base or with Mucor miehei lipase released the leucine tert.-Bu ester. Polymer loading was 51%.

L10 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:745500 HCAPLUS
DOCUMENT NUMBER: 128:99527
TITLE: Chemoenzymic synthesis of fluorescent N-Ras lipopeptides and their use in membrane localization studies in vivo
AUTHOR(S): Waldmann, Herbert; Schelhaas, Michael; Nagele, Edgar; Kuhlmann, Jurgen; Wittinghofer, Alfred; Schroeder, Hans; Silvius, John R.
CORPORATE SOURCE: Inst. Org. Chem., Univ. Richard-Willstatter-Allee, Karlsruhe, D-76128, Germany
SOURCE: Angew. Chem., Int. Ed. Engl. (1997), 36(20), 2238-2241
CODEN: ACIEAY; ISSN: 0570-0833

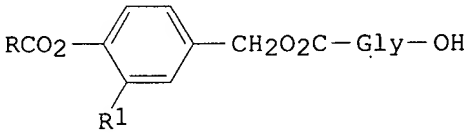
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:99527
AB The authors report on an efficient method for the synthesis of fluorescent-labeled lipopeptides and on their application in the study of the specific membrane localization of lipopeptides and lipoproteins by means of membrane fusion/fluorescence microscopy and microinjection/confocal laser fluorescence microscopy.

L10 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:526400 HCAPLUS
DOCUMENT NUMBER: 125:301533
TITLE: Enzymic synthesis of a characteristic phosphorylated and glycosylated peptide fragment of the large subunit of mammalian RNA polymerase II
AUTHOR(S): Pohl, Torsten; Waldmann, Herbert
CORPORATE SOURCE: Inst. Organische Chemie, Universitaet, Karlsruhe, D-76128, Germany
SOURCE: Angew. Chem., Int. Ed. Engl. (1996), 35(15), 1720-1723
CODEN: ACIEAY; ISSN: 0570-0833
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:301533
AB Phosphorylated and glycosylated hexapeptide H-Ser(PO3H2)-Pro-Thr-Ser(GlcNHAc)-Pro-Ser(GlcNHAc)-OH, a characteristic partial structure of the repeat sequence of the large subunit of mammalian RNA polymerase II, was prepd. under very mild conditions (pH 7.5, 25.degree.) by employing enzymic protecting group techniques. The p-phenylacetoxybenzyloxycarbonyl (PhAcOZ) urethane N-protecting group was developed as an enzyme-labile group stable to peptide coupling conditions, yet cleavable under mild conditions with penicillin G acylase.

L10 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:849921 HCAPLUS
DOCUMENT NUMBER: 123:275215
TITLE: Quantitative Structure-Activity Relationships (QSARs) of N-Terminus Fragments of NK1 Tachykinin Antagonists: A Comparison of Classical QSARs and Three-Dimensional QSARs from Similarity Matrixes
AUTHOR(S): Horwell, David C.; Howson, William; Higginbottom, Michael; Naylor, Dorica; Ratcliffe, Giles S.; Williams, Sophie
CORPORATE SOURCE: Parke-Davis Neuroscience Research Centre, Cambridge University Forvie Site, Cambridge, CB2 2QB, UK
SOURCE: J. Med. Chem. (1995), 38(22), 4454-62
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The ability of three-dimensional quant. structure-activity relationships (QSARs) derived from classical QSAR descriptors and similarity indexes to rationalize the activity of 28 N-terminus fragments of tachykinin NK1 receptor antagonists was examd. Two different types of analyses, partial least squares and multiple regression, were performed in order to check the robustness of each derived model. The models derived using classical QSAR descriptors lacked accurate quant. and predictive abilities to describe the nature of the receptor-inhibitor interaction. However models derived using 3D QSAR descriptors based on similarity indexes were both robust and significantly predictive. The best model was obtained through the statistical anal. of mol. field similarity indexes (n = 28, r2 =

0.846, r(cv)2 = 0.737, s = 0.987, PRESS = 7.102) suggesting that electronic and size-related properties are the most relevant in explaining the affinity data of the training set. The overall quality and predictive ability of the models applied to the test set appear to be very high, since the predicted affinities of three test compds. agree with the exptl. detd. affinities obtained subsequently within the exptl. error of the binding data.

L10 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:421003 HCAPLUS
DOCUMENT NUMBER: 91:21003
TITLE: Alkali labile substituted benzyloxycarbonyl protecting groups
AUTHOR(S): Le Corre, G.; Guibe-Jampel, E.; Wakselman, M.
CORPORATE SOURCE: Lab. Chim. Org. Biol., Univ. Paris-Sud, Orsay, Fr.
SOURCE: Tetrahedron (1978), 34(20), 3105-12
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: French
GI



I

AB Protected glycines I (R = Me2CHNH, Me2CH, Me, EtO, Me2CHO, EtS, Me2N, R1 = H; R = Me2CHO, R1 = Cl) were prepd. I were deblocked by hydrolysis in weak alk. medium to give free glycine. Generally, these compds. were more stable than PhCH2O2C-Gly-OH in CF3CO2H. A series of amino acids and dipeptides protected by these title groups were prepd. Dil. NaOH or H2O2 in NH3 rapidly cleaved these protecting group.

L10 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1973:546827 HCAPLUS
DOCUMENT NUMBER: 79:146827
TITLE: Alkali-labile substituted benzyloxycarbonyl amino-protecting group
AUTHOR(S): Wakselman, Michel; Guibe-Jampel, Eryka
CORPORATE SOURCE: Lab. Chim. Org. Biol., Univ. Paris, Orsay, Fr.
SOURCE: J. Chem. Soc., Chem. Commun. (1973), (16), 593-4
CODEN: JCCCAT
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 4-(Me2CHOCO2)C6H4CH2O2C group, a new amino-protecting group stable under conditions which cause cleavage of the Me3CO2C group, can be removed in 0.1N NaOH via a 1,6-elimination involving a quinonemethide intermediate.

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L14 ANSWER 1 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	4112932
Beilstein Pref. RN (BPR):	70362-81-1
CAS Reg. No. (RN):	**70362-81-1**
Fragm. Molec. Formula (FMF):	C23 H23 Cl N2 O7 , C12 H23 N
Molecular Formula (MF):	C23 H23 Cl N2 O7 . C12 H23 N
Molecular Weight (MW):	474.90, 181.32
Component BRN (FBRN):	4050511, 605923
Lawson Number (LN):	27812, 14011, 5918, 1762, 308
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	3766327
Tautomer ID (TAUTID):	4021918
Beilstein Citation (BSO):	5-22
Entry Date (DED):	1991/03/19
Update Date (DUPD):	1991/09/02

CM 1

FBRN 4050511
FMF C23 H23 Cl N2 O7

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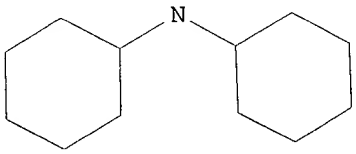
CM 2

FBRN 605923
FMF C12 H23 N



L14 ANSWER 2 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	4108425
Beilstein Pref. RN (BPR):	70362-87-7
CAS Reg. No. (RN):	**70362-87-7**
Fragm. Molec. Formula (FMF):	C21 H22 Cl N O7 , C12 H23 N
Molecular Formula (MF):	C21 H22 Cl N O7 . C12 H23 N
Molecular Weight (MW):	435.86, 181.32
Component BRN (FBRN):	4030002, 605923
Lawson Number (LN):	16048, 14011, 5918, 1762, 308
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	3762963
Tautomer ID (TAUTID):	4022118
Beilstein Citation (BSO):	5-14
Entry Date (DED):	1991/03/19
Update Date (DUPD):	1991/09/02

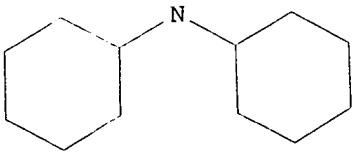
CM 1

FBRN 4030002
FMF C21 H22 Cl N O7

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

CM 2

FBRN 605923
FMF C12 H23 N



L14 ANSWER 3 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	4107776
Beilstein Pref. RN (BPR):	70362-83-3
CAS Reg. No. (RN):	**70362-83-3**
Fragm. Molec. Formula (FMF):	C17 H22 Cl N O7 S , C12 H23 N
Molecular Formula (MF):	C17 H22 Cl N O7 S . C12 H23 N
Molecular Weight (MW):	419.88, 181.32
Component BRN (FBRN):	4025581, 605923
Lawson Number (LN):	14011, 5918, 3553, 1762, 308, 292
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	3762584
Tautomer ID (TAUTID):	4018226
Beilstein Citation (BSO):	5-12
Entry Date (DED):	1991/03/19
Update Date (DUPD):	1991/09/02

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FBRN 4025581
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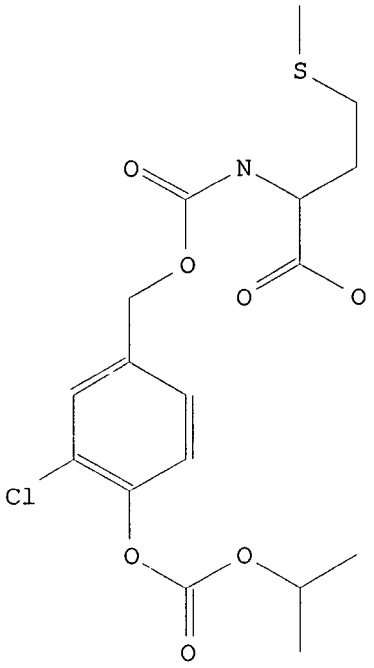
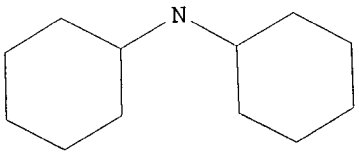


CM 2

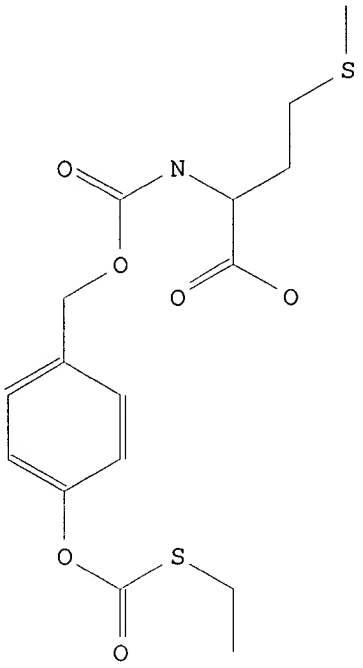
FBRN 605923
FMF C12 H23 N



L14 ANSWER 4 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

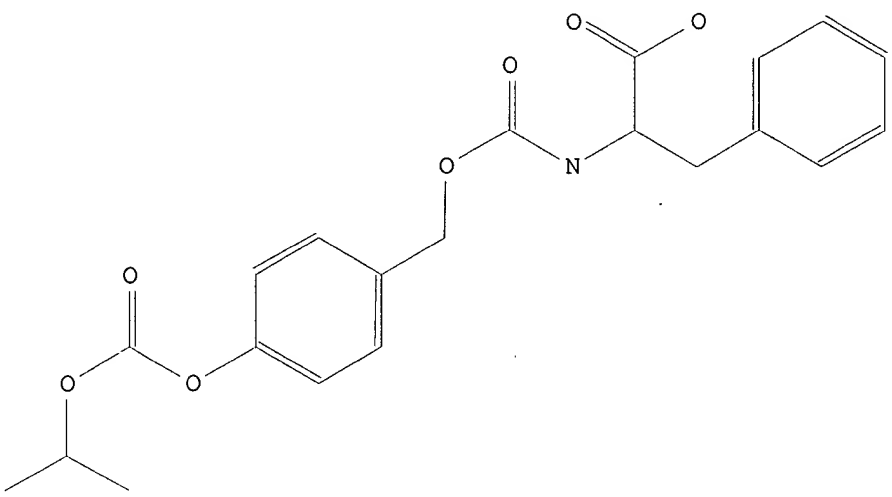
Beilstein Records (BRN):	4014482
Beilstein Pref. RN (BPR):	70362-77-5
CAS Reg. No. (RN):	**70362-77-5**
Chemical Name (CN):	2-(4-ethylsulfanylcarbonyloxy-benzyloxycarbonylamino)-4-methylsulfanyl-butyric acid
Autonom Name (AUN):	2-(4-ethylsulfanylcarbonyloxy-benzyloxycarbonylamino)-4-methylsulfanyl-butyric acid
Molec. Formula (MF):	C16 H21 N O6 S2
Molecular Weight (MW):	387.46
Lawson Number (LN):	5917, 3553, 1765, 1762, 301, 292
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	3602002

Tautomer ID (TAUTID): 3873088
Beilstein Citation (BSO): 5-06
Entry Date (DED): 1991/03/19
Update Date (DUPD): 1991/09/02



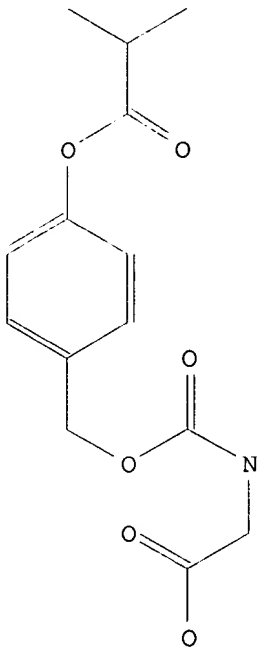
L14 ANSWER 5 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN): 2790731
Beilstein Pref. RN (BPR): 70362-89-9
CAS Reg. No. (RN): **70362-89-9**
Chemical Name (CN): 2-(4-isopropoxycarbonyloxy-benzyloxycarbonylamino)-3-phenyl-propionic acid
Autonom Name (AUN): 2-(4-isopropoxycarbonyloxy-benzyloxycarbonylamino)-3-phenyl-propionic acid
Molec. Formula (MF): C21 H23 N O7
Molecular Weight (MW): 401.42
Lawson Number (LN): 16048, 5917, 1762, 308
Compound Type (CTYPE): isocyclic
Constitution ID (CONSID): 2513683
Tautomer ID (TAUTID): 2675679
Beilstein Citation (BSO): 5-14
Entry Date (DED): 1989/07/11
Update Date (DUPD): 1989/07/11



L14 ANSWER 6 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	2338389
Beilstein Pref. RN (BPR):	70362-59-3
CAS Reg. No. (RN):	**70362-59-3**
Chemical Name (CN):	isobutyric acid 4-carboxymethylcarbamoyloxymethyl-phenyl ester
Autonom Name (AUN):	isobutyric acid 4-carboxymethylcarbamoyloxymethyl-phenyl ester
Molec. Formula (MF):	C14 H17 N O6
Molecular Weight (MW):	295.29
Lawson Number (LN):	5917, 3379, 1762, 1174
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	2159400
Tautomer ID (TAUTID):	2292295
Beilstein Citation (BSO):	5-06
Entry Date (DED):	1989/06/29
Update Date (DUPD):	1989/07/04



L14 ANSWER 7 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	2337492
Beilstein Pref. RN (BPR):	50444-49-0
CAS Reg. No. (RN):	**50444-49-0**
Chemical Name (CN):	(4-acetoxy-benzyloxycarbonylamino)-acetic acid
Autonom Name (AUN):	(4-acetoxy-benzyloxycarbonylamino)-acetic acid
Molec. Formula (MF):	C12 H13 N O6
Molecular Weight (MW):	267.24
Lawson Number (LN):	5917, 3379, 1762, 1155
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	2152391
Tautomer ID (TAUTID):	2290655
Beilstein Citation (BSO):	5-06, 6-06
Entry Date (DED):	1989/06/29
Update Date (DUPD):	1999/01/25

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L14 ANSWER 8 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	2313335
Beilstein Pref. RN (BPR):	70362-79-7
CAS Reg. No. (RN):	**70362-79-7**
Chemical Name (CN):	2-(4-ethylsulfanylcarbonyloxy-

	benzyloxycarbonylamino)-3-phenyl-propionic acid
Autonom Name (AUN):	2-(4-ethylsulfanylcarbonyloxy-benzyloxycarbonylamino)-3-phenyl-propionic acid
Molec. Formula (MF):	C20 H21 N O6 S
Molecular Weight (MW):	403.45
Lawson Number (LN):	16048, 5917, 1765, 1762, 301
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	2176858
Tautomer ID (TAUTID):	2298790
Beilstein Citation (BSO):	5-14
Entry Date (DED):	1989/06/29
Update Date (DUPD):	1989/06/29

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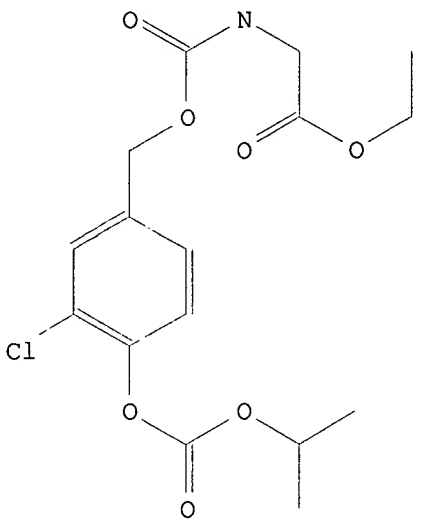
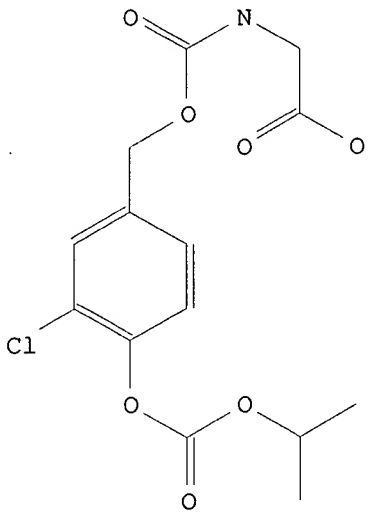
L14 ANSWER 9 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	2312014
Beilstein Pref. RN (BPR):	70377-57-0
CAS Reg. No. (RN):	**70377-57-0**
Chemical Name (CN):	(3-chloro-4-isopropoxycarbonyloxy-benzyloxycarbonylamino)-acetic acid ethyl ester
Autonom Name (AUN):	(3-chloro-4-isopropoxycarbonyloxy-benzyloxycarbonylamino)-acetic acid ethyl ester
Molec. Formula (MF):	C16 H20 Cl N O7
Molecular Weight (MW):	373.79
Lawson Number (LN):	5918, 3379, 1762, 308, 298
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	2168711
Tautomer ID (TAUTID):	2286698
Beilstein Citation (BSO):	5-06
Entry Date (DED):	1989/06/29
Update Date (DUPD):	1989/06/29



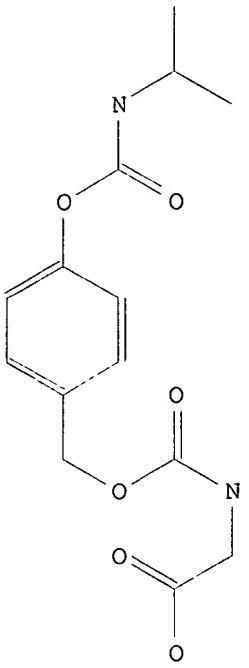
L14 ANSWER 10 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	2308405
Beilstein Pref. RN (BPR):	70362-60-6
CAS Reg. No. (RN):	**70362-60-6**
Chemical Name (CN):	(3-chloro-4-isopropoxycarbonyloxy-benzyloxycarbonylamino)-acetic acid
Autonom Name (AUN):	(3-chloro-4-isopropoxycarbonyloxy-benzyloxycarbonylamino)-acetic acid
Molec. Formula (MF):	C14 H16 Cl N O7
Molecular Weight (MW):	345.74
Lawson Number (LN):	5918, 3379, 1762, 308
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	2166436
Tautomer ID (TAUTID):	2283716
Beilstein Citation (BSO):	5-06
Entry Date (DED):	1989/06/29
Update Date (DUPD):	1991/03/25



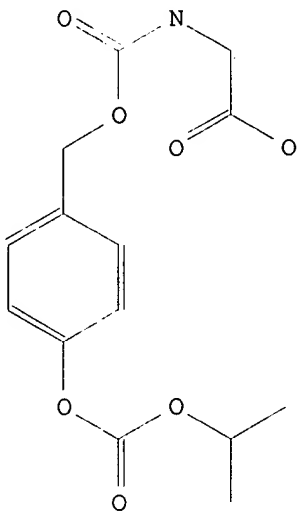
L14 ANSWER 11 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	2303457
Beilstein Pref. RN (BPR):	70362-58-2
CAS Reg. No. (RN):	**70362-58-2**
Chemical Name (CN):	(4-isopropylcarbamoyloxy-benzyloxycarbonylamino)-acetic acid
Autonom Name (AUN):	(4-isopropylcarbamoyloxy-benzyloxycarbonylamino)-acetic acid
Molec. Formula (MF):	C14 H18 N2 O6
Molecular Weight (MW):	310.31
Lawson Number (LN):	5917, 3379, 2836, 1762
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	2162550
Tautomer ID (TAUTID):	2293773
Beilstein Citation (BSO):	5-06
Entry Date (DED):	1989/06/29
Update Date (DUPD):	1989/06/29



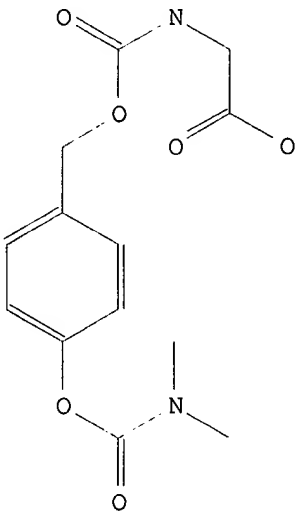
L14 ANSWER 12 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	2303456
Beilstein Pref. RN (BPR):	50444-51-4
CAS Reg. No. (RN):	**50444-51-4**
Chemical Name (CN):	(4-isopropoxycarbonyloxy-benzyloxycarbonylamino)-acetic acid
Autonom Name (AUN):	(4-isopropoxycarbonyloxy-benzyloxycarbonylamino)-acetic acid
Molec. Formula (MF):	C14 H17 N O7
Molecular Weight (MW):	311.29
Lawson Number (LN):	5917, 3379, 1762, 308
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	2160564
Tautomer ID (TAUTID):	2281093
Beilstein Citation (BSO):	5-06
Entry Date (DED):	1989/06/29
Update Date (DUPD):	1989/06/29



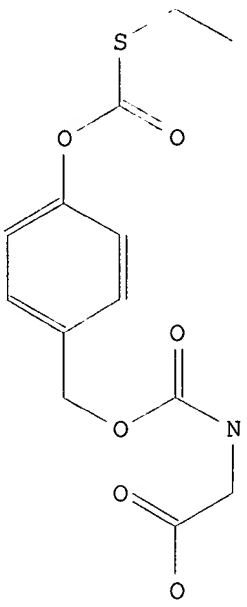
L14 ANSWER 13 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	2302525
Beilstein Pref. RN (BPR):	70362-62-8
CAS Reg. No. (RN):	**70362-62-8**
Chemical Name (CN):	(4-dimethylcarbamoyloxy-benzyloxycarbonylamino)-acetic acid
Autonom Name (AUN):	(4-dimethylcarbamoyloxy-benzyloxycarbonylamino)-acetic acid
Molec. Formula (MF):	C13 H16 N2 O6
Molecular Weight (MW):	296.28
Lawson Number (LN):	5917, 3379, 2817, 1762
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	2159386
Tautomer ID (TAUTID):	2278943
Beilstein Citation (BSO):	5-06
Entry Date (DED):	1989/06/29
Update Date (DUPD):	1989/06/29



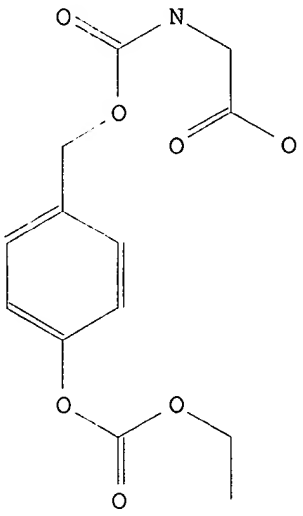
L14 ANSWER 14 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	2302522
Beilstein Pref. RN (BPR):	70362-61-7
CAS Reg. No. (RN):	**70362-61-7**
Chemical Name (CN):	(4-ethylsulfanylcarbonyloxy-benzyloxycarbonylamino)-acetic acid
Autonom Name (AUN):	(4-ethylsulfanylcarbonyloxy-benzyloxycarbonylamino)-acetic acid
Molec. Formula (MF):	C13 H15 N O6 S
Molecular Weight (MW):	313.32
Lawson Number (LN):	5917, 3379, 1765, 1762, 301
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	2160433
Tautomer ID (TAUTID):	2280065
Beilstein Citation (BSO):	5-06
Entry Date (DED):	1989/06/29
Update Date (DUPD):	1989/06/29



L14 ANSWER 15 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	2302521
Beilstein Pref. RN (BPR):	50444-50-3
CAS Reg. No. (RN):	**50444-50-3**
Chemical Name (CN):	(4-ethoxycarbonyloxy-benzyloxycarbonylamino)-acetic acid
Autonom Name (AUN):	(4-ethoxycarbonyloxy-benzyloxycarbonylamino)-acetic acid
Molec. Formula (MF):	C13 H15 N O7
Molecular Weight (MW):	297.26
Lawson Number (LN):	5917, 3379, 1762, 298
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	2159020
Tautomer ID (TAUTID):	2280270
Beilstein Citation (BSO):	5-06
Entry Date (DED):	1989/06/29
Update Date (DUPD):	1989/06/29



L14 ANSWER 16 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	468961
Beilstein Pref. RN (BPR):	70363-02-9
CAS Reg. No. (RN):	**70363-02-9**
Chemical Name (CN):	2-<2-(3-chloro-4-isopropoxycarbonyloxy-benzyloxycarbonylamino)-acetylamino>-3-(1H-indol-3-yl)-propionic acid
Autonom Name (AUN):	2-<2-(3-chloro-4-isopropoxycarbonyloxy-benzyloxycarbonylamino)-acetylamino>-3-(1H-indol-3-yl)-propionic acid
Molec. Formula (MF):	C25 H26 Cl N3 O8
Molecular Weight (MW):	531.95
Lawson Number (LN):	27812, 5918, 3379, 1762, 308
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	458456
Tautomer ID (TAUTID):	473011
Beilstein Citation (BSO):	5-22
Entry Date (DED):	1988/11/28
Update Date (DUPD):	1988/12/08

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L14 ANSWER 17 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	468462
Beilstein Pref. RN (BPR):	70363-00-7
CAS Reg. No. (RN):	**70363-00-7**
Chemical Name (CN):	2-<2-(4-ethylsulfanylcarbonyloxy-benzyloxycarbonylamino)-acetylamino>-3-(1H-indol-3-yl)-propionic acid
Autonom Name (AUN):	2-<2-(4-ethylsulfanylcarbonyloxy-

	benzyloxycarbonylamino)-acetylamino>-3-(1H-indol-3-yl)-propionic acid
Molec. Formula (MF):	C24 H25 N3 O7 S
Molecular Weight (MW):	499.54
Lawson Number (LN):	27812, 5917, 3379, 1765, 1762, 301
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	457479
Tautomer ID (TAUTID):	470907
Beilstein Citation (BSO):	5-22
Entry Date (DED):	1988/11/28
Update Date (DUPD):	1988/12/08

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L14 ANSWER 18 OF 18 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	465823
Beilstein Pref. RN (BPR):	70362-76-4
CAS Reg. No. (RN):	**70362-76-4**
Chemical Name (CN):	2-(4-ethylsulfanylcarbonyloxy-benzyloxycarbonylamino)-3-(1H-indol-3-yl)-propionic acid
Autonom Name (AUN):	2-(4-ethylsulfanylcarbonyloxy-benzyloxycarbonylamino)-3-(1H-indol-3-yl)-propionic acid
Molec. Formula (MF):	C22 H22 N2 O6 S
Molecular Weight (MW):	442.49
Lawson Number (LN):	27812, 5917, 1765, 1762, 301
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	452520
Tautomer ID (TAUTID):	461662
Beilstein Citation (BSO):	5-22
Entry Date (DED):	1988/11/28
Update Date (DUPD):	1988/12/08

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